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|      |    |        |  |
|------|----|--------|--|
| NEWS | 1  |        | Web Page URLs for STN Seminar Schedule - N. America  |
| NEWS | 2  |        | "Ask CAS" for self-help around the clock   |
| NEWS | 3  | Feb 24 | PCTGEN now available on STN  |
| NEWS | 4  | Feb 24 | TEMA now available on STN  |
| NEWS | 5  | Feb 26 | NTIS now allows simultaneous left and right truncation                                     |
| NEWS | 6  | Feb 26 | PCTFULL now contains images  |
| NEWS | 7  | Mar 04 | SDI PACKAGE for monthly delivery of multifile SDI results                                  |
| NEWS | 8  | Mar 24 | PATDPAFULL now available on STN  |
| NEWS | 9  | Mar 24 | Additional information for trade-named substances without structures available in REGISTRY |
| NEWS | 10 | Apr 11 | Display formats in DGENE enhanced  |
| NEWS | 11 | Apr 14 | MEDLINE Reload   |
| NEWS | 12 | Apr 17 | Polymer searching in REGISTRY enhanced   |
| NEWS | 13 | AUG 22 | Indexing from 1927 to 1936 added to records in CA/CAPLUS                                   |
| NEWS | 14 | Apr 21 | New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX                          |
| NEWS | 15 | Apr 28 | RDISCLOSURE now available on STN   |
| NEWS | 16 | May 05 | Pharmacokinetic information and systematic chemical names added to PHAR                    |
| NEWS | 17 | May 15 | MEDLINE file segment of TOXCENTER reloaded   |
| NEWS | 18 | May 15 | Supporter information for ENCOMPPAT and ENCOMPLIT updated                                  |
| NEWS | 19 | May 19 | Simultaneous left and right truncation added to WSCA                                       |
| NEWS | 20 | May 19 | RAPRA enhanced with new search field, simultaneous left and right truncation               |
| NEWS | 21 | Jun 06 | Simultaneous left and right truncation added to CBNB                                       |
| NEWS | 22 | Jun 06 | PASCAL enhanced with additional data   |
| NEWS | 23 | Jun 20 | 2003 edition of the FSTA Thesaurus is now available  |
| NEWS | 24 | Jun 25 | HSDB has been reloaded   |
| NEWS | 25 | Jul 16 | Data from 1960-1976 added to RDISCLOSURE   |
| NEWS | 26 | Jul 21 | Identification of STN records implemented  |
| NEWS | 27 | Jul 21 | Polymer class term count added to REGISTRY   |
| NEWS | 28 | Jul 22 | INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available      |
| NEWS | 29 | AUG 05 | New pricing for EUROPATFULL and PCTFULL effective August 1, 2003                           |
| NEWS | 30 | AUG 13 | Field Availability (/FA) field enhanced in BEILSTEIN                                       |
| NEWS | 31 | AUG 15 | PATDPAFULL: one FREE connect hour, per account, in September 2003                          |
| NEWS | 32 | AUG 15 | PCTGEN: one FREE connect hour, per account, in September 2003                              |
| NEWS | 33 | AUG 15 | RDISCLOSURE: one FREE connect hour, per account, in September 2003                         |
| NEWS | 34 | AUG 15 | TEMA: one FREE connect hour, per account, in September 2003                                |
| NEWS | 35 | AUG 18 | Data available for download as a PDF in RDISCLOSURE  |
| NEWS | 36 | AUG 18 | Simultaneous left and right truncation added to PASCAL                                     |
| NEWS | 37 | AUG 18 | FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation                     |
| NEWS | 38 | AUG 18 | Simultaneous left and right truncation added to ANABSTR                                    |

09/ 076,575

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
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Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:34:21 ON 03 SEP 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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0.21

FILE 'REGISTRY' ENTERED AT 14:34:52 ON 03 SEP 2003

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Property values tagged with IC are from the ZIC/VINITI data file  
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STRUCTURE FILE UPDATES: 1 SEP 2003 HIGHEST RN 577691-42-0

DICTIONARY FILE UPDATES: 1 SEP 2003 HIGHEST RN 577691-42-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP  
PROPERTIES for more information. See STNote 27, Searching Properties  
in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 10076575a.str

L1 STRUCTURE UPLOADED

=>

Uploading 10076575.str

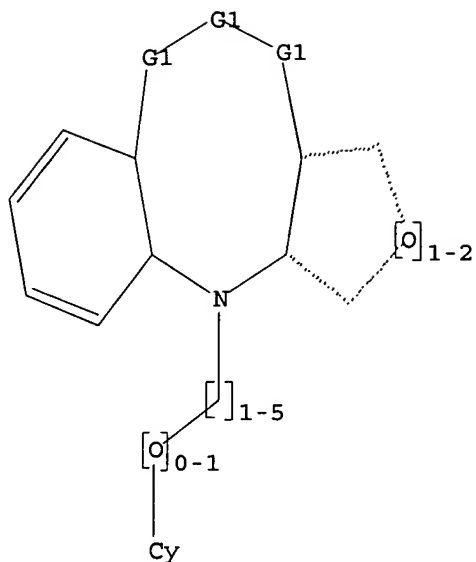
L2 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

09/ 076,575



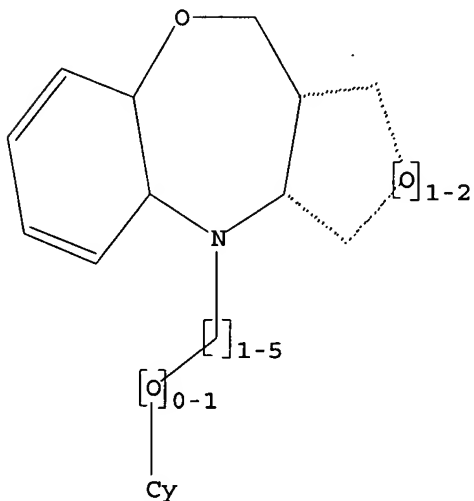
G1 C,O

Structure attributes must be viewed using STN Express query preparation.

=> d 12

L2 HAS NO ANSWERS

L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful

FULL SEARCH INITIATED 14:35:50 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 10803 TO ITERATE

100.0% PROCESSED 10803 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3

0 SEA SSS FUL L1

09/ 076,575

=> s l2 ful

FULL SEARCH INITIATED 14:36:01 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L2

=> s 'dibenz[b,g]azocin

MISMATCHED QUOTE ''DIBENZ[B,G]'

Quotation marks (or apostrophes) must be used in pairs,  
one before and one after the expression you are setting  
off or masking.

=> s 'dibenz[b,g]azocin'

44984 'DIBENZ'

2088 'B,G'

11027 'AZOCIN'

L5 45 'DIBENZ[B,G]AZOCIN'  
( 'DIBENZ' (W) 'B,G' (W) 'AZOCIN' )

=> s 'dibenz[b,e][1,4]oxazepin'

MISMATCHED QUOTE ''4]OXAZEPIN''

Quotation marks (or apostrophes) must be used in pairs,  
one before and one after the expression you are setting  
off or masking.

=> s 'dibenz[b,e][1,4]oxazepin'

44984 'DIBENZ'

18496 'B,E'

926873 '1,4'

8726 'OXAZEPIN'

L6 203 'DIBENZ[B,E][1,4]OXAZEPIN'  
( 'DIBENZ' (W) 'B,E' (W) '1,4' (W) 'OXAZEPIN' )

=> s 'dibenz[d,g]dioxazocin'

44984 'DIBENZ'

2755 'D,G'

111 'DIOXAZOCIN'

L7 0 'DIBENZ[D,G]DIOXAZOCIN'  
( 'DIBENZ' (W) 'D,G' (W) 'DIOXAZOCIN' )

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST  | 341.70           | 341.91        |

FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003  
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FILE COVERS 1907 - 3 Sep 2003 VOL 139 ISS 10  
FILE LAST UPDATED: 1 Sep 2003 (20030901/ED)

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:34:21 ON 03 SEP 2003)

FILE 'REGISTRY' ENTERED AT 14:34:52 ON 03 SEP 2003

L1 STRUCTURE UPLOADED  
L2 STRUCTURE UPLOADED  
L3 0 S L1 FUL  
L4 0 S L2 FUL  
L5 45 S 'DIBENZ[B,G]AZOCIN'  
L6 203 S 'DIBENZ[B,E][1,4]OXAZEPIN'  
L7 0 S 'DIBENZ[D,G]DIOXAZOCIN'

FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003

=> s l5 or l6

18 L5  
54 L6  
L8 68 L5 OR L6

=> d l8 1- ibib abs fhitr

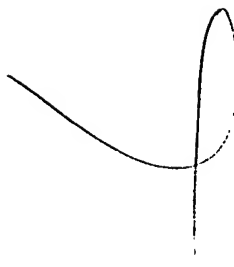
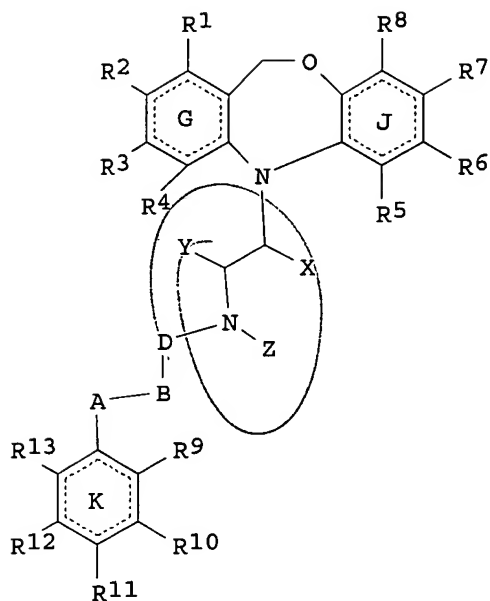
YOU HAVE REQUESTED DATA FROM 68 ANSWERS - CONTINUE? Y/(N):y

L8 ANSWER 1 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:927415 CAPLUS  
DOCUMENT NUMBER: 138:14080  
TITLE: Preparation of dihydrodiaryloxazepine derivatives for  
treatment of functional digestive tract diseases  
INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Tokumasu,  
Munetaka; Takahashi, Kazuyoshi; Hirasawa, Shigeo;  
Ezaki, Junko  
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan  
SOURCE: PCT Int. Appl., 116 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 2002096891   | A1   | 20021205 | WO 2002-JP5193  | 20020529 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,<br>PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,<br>UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,<br>TJ, TM |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,<br>CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,<br>BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
| PRIORITY APPLN. INFO.: JP 2001-161988   |      |          | A 20010530      |          |
| OTHER SOURCE(S): MARPAT 138:14080   |      |          |                 |          |

GI



I

AB The title compds. I [ring G, J, K = benzene ring or N-contg. arom. ring; R1 - R8 = halo, H; R9 - R13 = H, halo, cyano, etc.; A = CH<sub>2</sub>, etc.; B = CO, etc.; or AB = CH:CH; D = CH<sub>2</sub>, etc.; or BD = CH<sub>2</sub>; XZ = CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, and Y = H; or YZ = CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, and X = H; further detail on X, Y, Z is given; a proviso is given] are prepd. Compds. of this invention are calcium channel antagonists with selectivity for the intestinal tract (IC<sub>50</sub> values of 5.6 nM to 82.5 nM) and are useful in the treatment of functional digestive tract diseases. Formulations are given.

IT 477778-61-3P

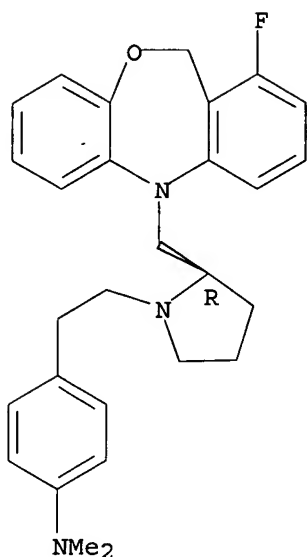
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of dihydrodiaryloxazepine derivs. for treatment of functional digestive tract diseases)

RN 477778-61-3 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



⊕2 HCl

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:142672 CAPLUS

DOCUMENT NUMBER: 136:200094

TITLE: Preparation of biphenylcarboxamidoisoindoline derivatives as apolipoprotein B secretion inhibitors

INVENTOR(S): Yamada, Harutami; Ando, Akira; Kawanishi, Hiroyuki; Nagata, Koichi; Yasuhara, Mikiko

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

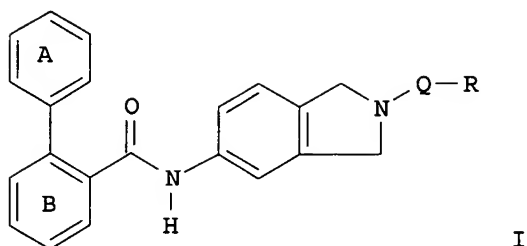
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2002014277          | A1   | 20020221 | WO 2001-JP6844  | 20010809   |
| W:                     | AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| AU 2001077728          | A5   | 20020225 | AU 2001-77728   | 20010809   |
| JP 2003055345          | A2   | 20030226 | JP 2001-241482  | 20010809   |
| PRIORITY APPLN. INFO.: |  |          | JP 2000-243004  | A 20000810 |
|                        |  |          | JP 2001-172918  | A 20010607 |
|                        |  |          | WO 2001-JP6844  | W 20010809 |

OTHER SOURCE(S): MARPAT 136:200094

GI



AB The title compds. I [ring A is a substituted or unsubstituted benzene ring; ring B is a substituted or unsubstituted benzene ring; Q is CO or CH<sub>2</sub>; and R is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted carbamoyl, a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl, or the like], useful as apolipoprotein B secretion inhibitors (no data), are prepd. Processes for the prepn. of I are claimed. For example, 2-(2-pyridyl)acetyl-5-[2-(4-trifluoromethylphenyl)benzoylamino]isoindoline was prepd.

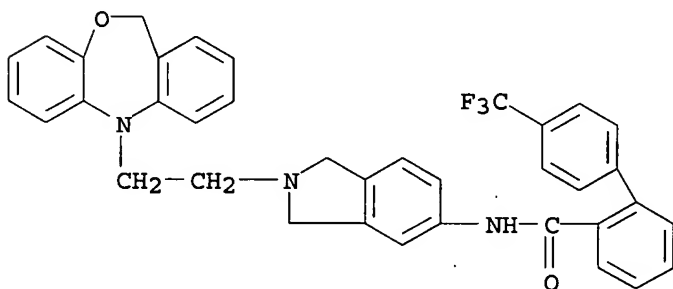
IT 400726-74-1P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of biphenylcarboxamidoisoindoline derivs. as apolipoprotein B secretion inhibitors)

RN 400726-74-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethyl)-2,3-dihydro-1H-isoindol-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:368136 CAPLUS

DOCUMENT NUMBER: 135:131732

TITLE: Synthesis of Novel .gamma.-Aminobutyric Acid (GABA) Uptake Inhibitors. 5.Preparation and Structure-Activity Studies of Tricyclic Analogues of Known GABA Uptake Inhibitors

AUTHOR(S): Andersen, Knud Erik; Sorensen, Jan L.; Lau, Jesper; Lundt, Behrend F.; Petersen, Hans; Huusfeldt, Per O.; Suzdak, Peter D.; Swedberg, Michael D. B.

CORPORATE SOURCE: Health Care Discovery, Novo Nordisk A/S, Malov, DK 2760, Den.

SOURCE: Journal of Medicinal Chemistry (2001), 44(13), 2152-2163



CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB On the basis of the SAR of a series of known .gamma.-aminobutyric acid (GABA) uptake inhibitors, including SKF 89976, new tricyclic analogs have been prepd. These novel compds. are derivs. of nipecotic acid, guvacine, and homo-.beta.-proline, substituted at the nitrogen of these amino acids by various lipophilic moieties such as (10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)alkoxyalkyl or (10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)alkoxyalkyl. The in vitro values for inhibition of [3H]-GABA uptake in rat synaptosomes was detd. for each compd. in this new series, and it was found that several of the novel compds. showed a high potency comparable with that of several ref. compds. Several of the novel compds. were also evaluated for their ability in vivo to inhibit clonic seizures induced by a 15 mg/kg (i.p.) dose of Me 6,7-dimethoxy-4-ethyl-.beta.-carboline-3-carboxylate (DMCM). One compd., (R)-1-(2-(2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy)ethyl)-3-piperidinecarboxylic acid, was selected for further biol. investigations and showed a protective index comparable to or slightly better than that of the recently launched anticonvulsant tiagabine ((R)-1-(4,4-bis(3-methyl-2-thienyl)-3-butenyl)-3-piperidinecarboxylic acid).

IT 146844-18-0P

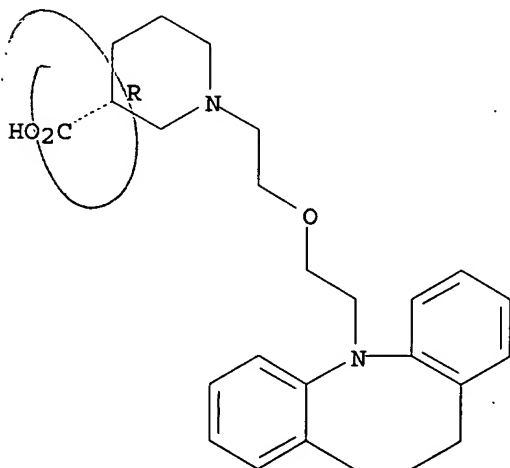
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-activity studies on tricyclic analogs of known GABA uptake inhibitors)

RN 146844-18-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[2-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)ethoxy]ethyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:475653 CAPLUS

DOCUMENT NUMBER: 133:89556

TITLE: Preparation of oxazepine derivatives and drugs containing the same  
 INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko; Takahashi, Kazuyoshi  
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan  
 SOURCE: PCT Int. Appl., 81 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE             | APPLICATION NO. | DATE       |
|---|------|------------------|-----------------|------------|
| WO 2000040570   | A1   | 20000713         | WO 2000-JP71    | 20000111   |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |                  |                 |            |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |                  |                 |            |
| EP 1142884  | A1   | 20011010         | EP 2000-900167  | 20000111   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |      |                  |                 |            |
| US 2002099047   | A1   | 20020725         | US 2001-899928  | 20010709   |
| US 6528504  | B2   | 20030304         |                 |            |
| PRIORITY APPLN. INFO.:  |      |                  | JP 1999-3268    | A 19990108 |
|   |      |                  | JP 1999-3269    | A 19990108 |
|   |      |                  | JP 1999-3270    | A 19990108 |
|   |      |                  | WO 2000-JP71    | W 20000111 |
| OTHER SOURCE(S):  |      | MARPAT 133:89556 |                 |            |
| GI  |      |                  |                 |            |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

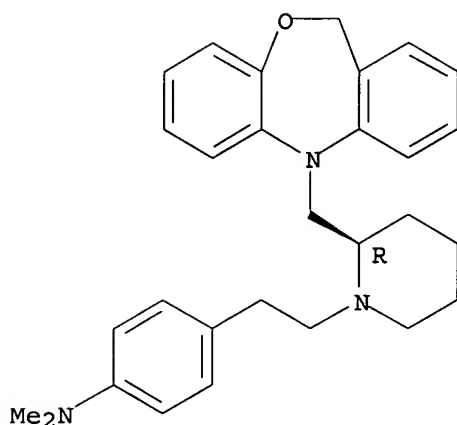
AB Title compds. [I; A = Q, Q1, Q2; R = H, Cl, (CH<sub>3</sub>)<sub>2</sub>N, CH<sub>3</sub>O; R1 = CH<sub>3</sub>O, N(CH<sub>3</sub>)<sub>2</sub>, H; R-R1 = OCH<sub>2</sub>O; n = 2, 3; ], salts, stereoisomers, and drug compns. contg. I are prepd. and are useful in the treatment or prevention of motor function disorder of digestive tract, particularly intestinal diseases including irritable bowel syndrome. Thus, the title compds. (R)-5,11-Dihydro-5-[1-(4-methoxyphenethyl)-piperidin-2-ylmethyl]dibenzo[b,e][1,4] oxazepine and (R)-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)-piperidin-2-ylmethyl]dibenzo[b,e][1,4]oxazepin were prepd. and tested.

IT 281677-38-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of oxazepine derivs. and drugs contg. the same)

RN 281677-38-1 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-piperidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:383927 CAPLUS

DOCUMENT NUMBER: 133:34425

TITLE: Pharmaceutical compositions containing N-substituted azaheterocyclic compounds for the treatment of indications related to angiogenesis

INVENTOR(S): Hansen, Anker Jon; Jorgensen, Tine Krogh; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 120 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 2000032193          | A1   | 20000608 | WO 1999-DK671   | 19991201   |
| W:                     | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |            |
| EP 1135129             | A1   | 20010926 | EP 1999-957964  | 19991201   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                 |            |
| JP 2003524611          | T2   | 20030819 | JP 2000-584888  | 19991201   |
| US 2002045610          | A1   | 20020418 | US 2001-872127  | 20010601   |
| PRIORITY APPLN. INFO.: |  |          | DK 1998-1586    | A 19981202 |
|                        |  |          | US 1998-111445P | P 19981208 |
|                        |  |          | WO 1999-DK671   | W 19991201 |

OTHER SOURCE(S): MARPAT 133:34425

AB The present invention relates to the use of N-substituted azaheterocyclic

comps. or salts thereof, for the treatment of conditions related to angiogenesis. N-substituted azaheterocyclic compds. decreased the vessel area of neovascularization of mouse cornea by 30-50%. A tablet contained a N-substituted azaheterocyclic compd. 100, silicone dioxide 1.5, microcryst. cellulose 70, modified cellulose gum 7.5, in the core, and hydroxypropyl Me cellulose 9, and Mywacett 9-40T 0.9 mg in the coating.

IT 170150-16-0

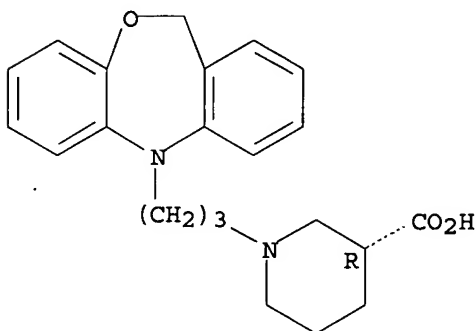
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. contg. N-substituted azaheterocyclic compds. for treatment of indications related to angiogenesis)

RN 170150-16-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:277964 CAPLUS

DOCUMENT NUMBER: 132:308362

TITLE: Preparation of tricyclic compounds for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR)

INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Reddy's Research Foundation

SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.    | KIND   | DATE     | APPLICATION NO. | DATE     |
|---------------|--|----------|-----------------|----------|
| WO 2000023425 | A1   | 20000427 | WO 1999-DK570   | 19991019 |
| W:            | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |          |
| RW:           | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |

09/ 076,575

|  |    |          |                |          |
|--|----|----------|----------------|----------|
| AU 9961902   | A1 | 20000508 | AU 1999-61902  | 19991019 |
| EP 1123279   | A1 | 20010816 | EP 1999-948738 | 19991019 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,<br>IE, SI, LT, LV, FI, RO |    |          |                |          |
| JP 2002527507  | T2 | 20020827 | JP 2000-577153 | 19991019 |
| US 6468996   | B1 | 20021022 | US 1999-419761 | 19991019 |
| US 2002103188  | A1 | 20020801 | US 2002-76574  | 20020208 |
| US 2002111344  | A1 | 20020815 | US 2002-76573  | 20020208 |
| US 2002115657  | A1 | 20020822 | US 2002-76575  | 20020208 |

PRIORITY APPLN. INFO.: DK 1998-1352 A 19981021  
US 1998-105912P P 19981028  
US 1999-419761 A3 19991019  
WO 1999-DK570 W 19991019

OTHER SOURCE(S): MARPAT 132:308362  
GI

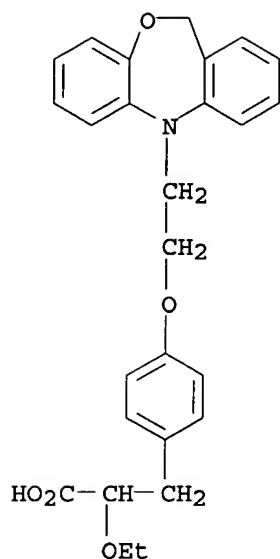
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1-R4 = H, halo, perhalomethyl, etc.; R1 and R2, R2 and R3, R3 and R4 may form (un)substituted cyclic ring contg. 5-7 carbon atoms; A = (un)substituted 5-6 membered cyclic ring; X = a bond, CH:CH, OCH2O, etc.; Ar = (un)substituted arylene, heteroarylene, divalent heterocyclic group; R5 = H, OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = H, alkyl, alkenyl, etc.; Y = O, S, NH, etc.; n = 1-4; m = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR) (e.g., in the treatment of diabetes and/or obesity), were prepd. and formulated. Thus, reacting 2-(10,11-dihydrodibenzo[b,f]azepin-5-yl)ethanol with Et 2-ethoxy-3-(4-hydroxyphenyl)propionate in the presence of triphenylphosphine and di-Et azodicarboxylate afforded 90% II. Compds. I are effective at 0.1-70 mg/day in the treatment of adult humans.

IT 265301-43-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of tricyclic compds. for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR))

RN 265301-43-7 CAPLUS  
CN Benzenepropanoic acid, 4-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethoxy) -  
.alpha.-ethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1999:811383 CAPLUS  
 DOCUMENT NUMBER: 132:20799  
 TITLE: Media and system for comparative phenotype analysis of microorganism  
 INVENTOR(S): Bochner, Barry; Panomitros, Eugenia  
 PATENT ASSIGNEE(S): Biolog, Inc., USA  
 SOURCE: PCT Int. Appl., 87 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 9966066   | A1   | 19991223 | WO 1999-US13495 | 19990616 |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |          |
| US 6046021   | A    | 20000404 | US 1998-98066   | 19980616 |
| EP 1088097   | A1   | 20010404 | EP 1999-928683  | 19990616 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI  |      |          |                 |          |

PRIORITY APPLN. INFO.:  
 US 1998-98066 A 19980616  
 US 1995-421377 A2 19950412  
 US 1996-762656 A2 19961209  
 WO 1999-US13495 W 19990616

AB The present invention relates to growing and testing microorganisms in a multitest format. In particularly preferred embodiments, the multitest format utilizes a gel-forming matrix for the rapid screening of clin. and environmental cultures. The present invention is suited for the characterization of commonly encountered microorganisms (e.g., *E. coli*, *S. aureus*, etc.), as well as com. and industrially important organisms from various and diverse environments (e.g., the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi). The present invention is also particularly suited for comparative anal. of phenotypic differences between cell types,

including strains of microorganisms that have been designated as the same genus and species, as well as other cell types (e.g., mammalian, insect, and plant cells).

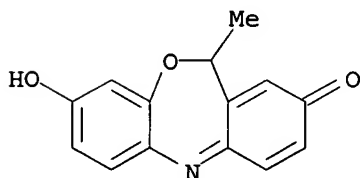
IT 50354-32-0P, Redox purple

RL: ARG (Analytical reagent use); ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(media and system for comparative phenotype anal. of microorganism)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:404950 CAPLUS

DOCUMENT NUMBER: 131:58843

TITLE: preparation of 3-piperidyl-4-oxoquinazoline derivatives as medicinal compositions

INVENTOR(S): Sato, Motohide; Katsushima, Takeo; Kinoshita, Hajime

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND   | DATE     | APPLICATION NO. | DATE     |
|-------------|--|----------|-----------------|----------|
| WO 9931085  | A1   | 19990624 | WO 1998-JP5628  | 19981211 |
| W:          | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |          |
| RW:         | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG   |          |                 |          |
| JP 11228569 | A2   | 19990824 | JP 1998-288979  | 19981012 |
| JP 2959765  | B2   | 19991006 |                 |          |
| ZA 9811315  | A  | 19990630 | ZA 1998-11315   | 19981210 |
| AU 9915068  | A1   | 19990705 | AU 1999-15068   | 19981211 |
| AU 717963   | B2   | 20000406 |                 |          |
| EP 970954   | A1   | 20000112 | EP 1998-959187  | 19981211 |
| R:          | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO   |          |                 |          |
| BR 9807339  | A  | 20000321 | BR 1998-7339    | 19981211 |
| NZ 337118   | A  | 20000327 | NZ 1998-337118  | 19981211 |
| NO 9903868  | A  | 19991012 | NO 1999-3868    | 19990811 |
| US 6235730  | B1   | 20010522 | US 1999-367242  | 19991026 |

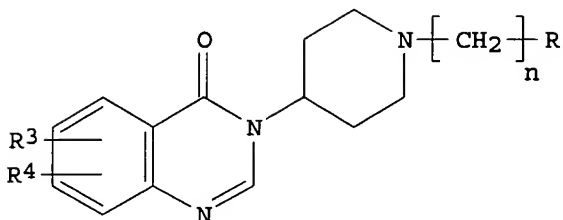
09/ 076,575

PRIORITY APPLN. INFO.:

JP 1997-362819 A 19971212  
JP 1998-288979 A 19981012  
WO 1998-JP5628 W 19981211

OTHER SOURCE(S):  
GI

MARPAT 131:58843



AB 3-Piperidyl-4-oxoquinazoline derivs. or pharmaceutically acceptable salts [I; R = amino substituted by optionally substituted aryl, heteroaryl, or cyclic amino such as dibenzazepine; n = integer from 1 to 4; R3, R4 = H, lower alkyl, etc.], having an excellent MTP-inhibitory activity, thus useful in inhibiting the formation of LDL causative of arteriosclerotic diseases and enabling the regulation of TG, cholesterol and lipoproteins such as LDL in the blood and cellular lipids via the regulation of the MTP activity, were prepd. I are expected also as a novel type of remedies or preventives for hyperlipemia or arteriosclerotic diseases and, moreover, as remedies or preventives for pancreatitis, obesity, hypercholesterolemia, hypertriglyceridemia, etc. Refluxing a mixt. of BrCH<sub>2</sub>CH<sub>2</sub>NPh<sub>2</sub> and 3-(piperidin-4-yl)-3H-quinazolin-4-one contg. K<sub>2</sub>CO<sub>3</sub> in MeCN gave 55% I (R = Ph<sub>2</sub>N, R<sub>3</sub> = R<sub>4</sub> = H, n = 2) (II). II.2HCl showed IC<sub>50</sub> of 0.1 .mu.M against apolipoprotein B secretion and 0.6 .mu.M against triglyceride transport in vitro.

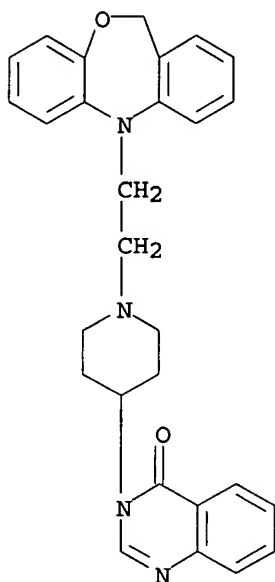
IT 227806-80-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 3-piperidyl-4-oxoquinazoline derivs. as medicinal compns.)

RN 227806-80-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-[1-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:246872 CAPLUS

DOCUMENT NUMBER: 130:281580

TITLE: Preparation of thermally stable aminosulfur trifluorides as deoxofluorination agents

INVENTOR(S): Lal, Gauri Sankar; Pez, Guido Peter; Pesaresi, Reno Joseph, Jr.; Syvret, Robert George

PATENT ASSIGNEE(S): Air Products and Chemicals, Inc., USA

SOURCE: Eur. Pat. Appl., 32 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

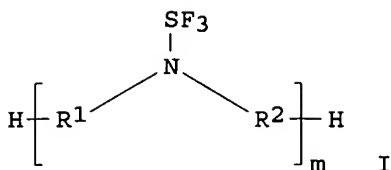
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| EP 908448   | A1   | 19990414 | EP 1998-118306  | 19980925 |
| EP 908448   | B1   | 20011114 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO |      |          |                 |          |
| US 6207860  | B1   | 20010327 | US 1997-939635  | 19970929 |
| CA 2248407  | AA   | 19990329 | CA 1998-2248407 | 19980922 |
| JP 11158141   | A2   | 19990615 | JP 1998-275235  | 19980929 |
| JP 3357609  | B2   | 20021216 |                 |          |
| US 6242645  | B1   | 20010605 | US 2000-535682  | 20000323 |

PRIORITY APPLN. INFO.: US 1997-939635 A 19970929

OTHER SOURCE(S): MARPAT 130:281580

GI



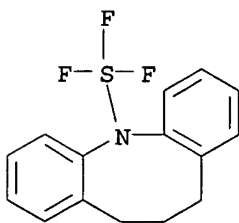
AB Aminosulfur trifluorides I [m = 1-5; when m = 1 R1, R2 = aryl radicals, heterocyclyl, alkoxyalkyl and when m = 2-5 R1 = Ph and R2 = aryl], deoxofluorinating agents, were prepd. E.g., reaction of Ph2NH with SF4 gave Ph2NSF3 quant. Deoxofluorination of 4-tert-butylcyclohexanone by Ph2NSF3 gave 1,1-difluoro-4-tert-butylcyclohexane and 1-fluoro-4-tert-butyl-1-cyclohexene (96:4). The thermal stability of I was studied.

IT **222844-41-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of thermally stable aminosulfur trifluorides as deoxofluorination agents)

RN 222844-41-9 CAPLUS

CN Sulfur, (6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)trifluoro-, (T-4)- (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:194140 CAPLUS

DOCUMENT NUMBER: 130:223305

TITLE: Preparation and formulation of 5,11-dihydrodibenz[b,e][1,4]oxazepine derivatives as calcium antagonists

INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko; Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 9912925  | A1   | 19990318 | WO 1998-JP4071  | 19980910 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,   |      |          |                 |          |

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FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

|            |    |          |                 |          |
|------------|----|----------|-----------------|----------|
| CA 2304262 | AA | 19990318 | CA 1998-2304262 | 19980910 |
| AU 9890014 | A1 | 19990329 | AU 1998-90014   | 19980910 |
| AU 740878  | B2 | 20011115 |                 |          |
| EP 1020466 | A1 | 20000719 | EP 1998-941803  | 19980910 |
| EP 1020466 | B1 | 20030219 |                 |          |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI

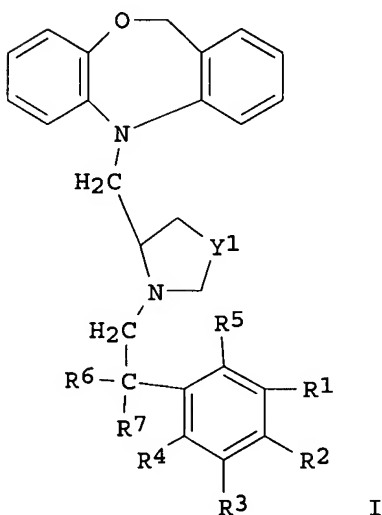
|            |    |          |                |          |
|------------|----|----------|----------------|----------|
| AT 232861  | E  | 20030315 | AT 1998-941803 | 19980910 |
| US 6562808 | B1 | 20030513 | US 2000-522946 | 20000310 |

PRIORITY APPLN. INFO.:

|                |   |          |
|----------------|---|----------|
| JP 1997-245669 | A | 19970910 |
| JP 1997-245670 | A | 19970910 |
| WO 1998-JP4071 | W | 19980910 |

OTHER SOURCE(S): MARPAT 130:223305

GI



AB The title compds. I [R1 - R5 = H, alkoxy, etc.; R6, R7 = H, hydroxy; Y1 = methylene, etc.] are prepd. I are useful in the treatment or prevention of intestinal diseases such as gastrointestinal tract dyskinesia, in particular, irritable bowel syndrome. In an in vitro test for calcium antagonism using ileum, (R)-5,11-Dihydro-5-[1-[2-(4-dimethylaminophenyl)ethyl]-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (II) in vitro showed IC<sub>50</sub> of 35 nM; in an in vitro test for calcium antagonism using artery, II showed IC<sub>50</sub> of 255 nM. I also showed high water soly.

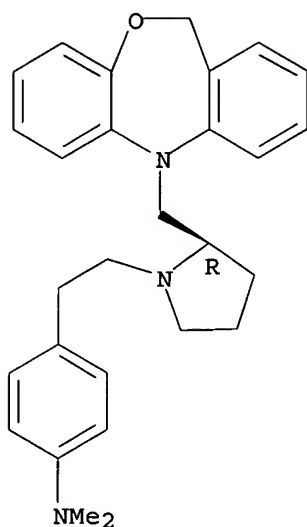
IT 221159-49-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of dihydrodibenzoxazepine derivs. as calcium antagonists)

RN 221159-49-5 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1999:191357 CAPLUS  
 DOCUMENT NUMBER: 130:220169  
 TITLE: Gel matrix with redox purple for testing and characterizing microorganisms  
 INVENTOR(S): Bochner, Barry R.; Naleway, John J.  
 PATENT ASSIGNEE(S): Biolog, Inc., USA  
 SOURCE: U.S., 21 pp., Cont.-in-part of U.S. 5,627,045.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE        |
|--|------|----------|-----------------|-------------|
| US 5882882   | A    | 19990316 | US 1996-762656  | 19961209    |
| US 5627045   | A    | 19970506 | US 1995-421377  | 19950412    |
| WO 9826270   | A2   | 19980618 | WO 1997-US22601 | 19971209    |
| WO 9826270   | A3   | 19980903 |                 |             |
| W: JP  |      |          |                 |             |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |             |
| US 6046021   | A    | 20000404 | US 1998-98066   | 19980616    |
| US 5989853   | A    | 19991123 | US 1998-116078  | 19980715    |
| US 6387651   | B1   | 20020514 | US 2000-574087  | 20000518    |
| US 6472201   | B1   | 20021029 | US 2000-752168  | 20001229    |
| US 2002110848  | A1   | 20020815 | US 2002-47048   | 20020114    |
| US 2003148413  | A1   | 20030807 | US 2002-226436  | 20020823    |
| PRIORITY APPLN. INFO.:   |      |          |                 |             |
|  |      |          | US 1995-421377  | A2 19950412 |
|  |      |          | US 1996-762656  | A 19961209  |
|  |      |          | US 1998-98066   | A2 19980616 |
|  |      |          | US 1999-333802  | B1 19990615 |
|  |      |          | US 2000-574087  | A1 20000518 |
|  |      |          | US 2000-752168  | A3 20001229 |

AB The present invention is directed to methods and compns. for the characterization of various microorganisms. In particular, the present invention is suited for the characterization of commonly encountered microorganisms (e.g., E. coli, S. aureus, etc.), as well as com. and

industrially important organisms from various and diverse environments. For example, the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi. The methods employ a testing system wherein an aq. suspension of microorganisms is introduced to one or more test substrates comprising redox purple (8-hydroxy-11-methyldibenz-[b,e][1,4]oxazepin-2-(11H)-one) and a gelling agent. The methods detect the response of the microorganisms to the test substrates. A testing device comprising a plurality of testing wells is well suited for the present invention. E. coli was tested on various carbon sources using redox purple sodium salt (prepn. given), resazurin sodium salt, or tetrazolium violet as the indicator. The gel matrix was carrageenan.

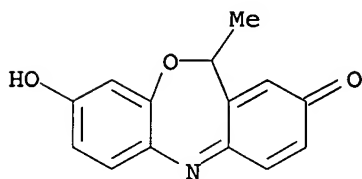
IT 50354-32-0P, Redox purple

RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(gel matrix with redox purple for testing and characterizing microorganisms)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:406127 CAPLUS

DOCUMENT NUMBER: 129:78824

TITLE: Gel matrix with redox purple for growing and testing microorganisms

INVENTOR(S): Bochner, Barry R.; Naleway, John J.

PATENT ASSIGNEE(S): Biolog, Inc., USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE        |
|--|------|----------|-----------------|-------------|
| WO 9826270   | A2   | 19980618 | WO 1997-US22601 | 19971209    |
| WO 9826270   | A3   | 19980903 |                 |             |
| W: JP  |      |          |                 |             |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |             |
| US 5882882   | A    | 19990316 | US 1996-762656  | 19961209    |
| PRIORITY APPLN. INFO.:   |      |          | US 1996-762656  | A 19961209  |
|  |      |          | US 1995-421377  | A2 19950412 |

AB Methods and kits for the characterization of various microorganisms in a multitest format use a gel-forming matrix with redox purple and test substrates. In particular, the present invention is suited for the characterization of commonly encountered microorganisms (e.g., E. coli, S.

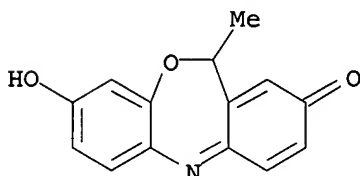
aureus, etc.), as well as com. and industrially important organisms from various and diverse environments. For example, the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi. Growth of *Aspergillus niger*, *Penicillium chrysogenum*, and *Trichoderma harzianum* fungi on various carbon sources was tested using redox purple (prepn. given) in Gelrite in wells of a Biolog SF-N Microplate. For each carbon source utilized by the organism, the content of the well was colorless. The wells of unused carbon sources were blue.

IT 209187-17-7

RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)  
(gel matrix with redox purple for growing and testing microorganisms)

RN 209187-17-7 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl-, sodium salt (9CI) (CA INDEX NAME)



⊙ Na

L8 ANSWER 13 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:623166 CAPLUS

DOCUMENT NUMBER: 127:293256

TITLE: Preparation and formulation of 5,11-dihydrodibenz[b,e][1,4]oxazepine derivatives for improving the motor function of the digestive tract

INVENTOR(S): Tanaka, Yuji; Misumi, Keiji; Kawakami, Yoshinari; Moriguchi, Masahiko; Takahashi, Kazuyoshi; Okamoto, Hiroki; Kamisaki, Toshiaki; Inoue, Kimihiro; Sato, Makoto

PATENT ASSIGNEE(S): Ajinomoto, Inc., Japan; Tanaka, Yuji; Misumi, Keiji; Kawakami, Yoshinari; Moriguchi, Masahiko; Takahashi, Kazuyoshi; Okamoto, Hiroki; Kamisaki, Toshiaki; Inoue, Kimihiro; Sato, Makoto

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| WO 9733885  | A1   | 19970918 | WO 1997-JP754   | 19970311 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
| RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,   |      |          |                 |          |

GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,  
ML, MR, NE, SN, TD, TG

|            |    |          |                  |          |
|------------|----|----------|------------------|----------|
| ZA 9702038 | A  | 19970917 | ZA 1997-2038     | 19970310 |
| TW 479057  | B  | 20020311 | TW 1997-86102931 | 19970310 |
| AU 9722335 | A1 | 19971001 | AU 1997-22335    | 19970311 |
| AU 704521  | B2 | 19990422 |                  |          |
| EP 889043  | A1 | 19990107 | EP 1997-905478   | 19970311 |
| EP 889043  | B1 | 20010829 |                  |          |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI

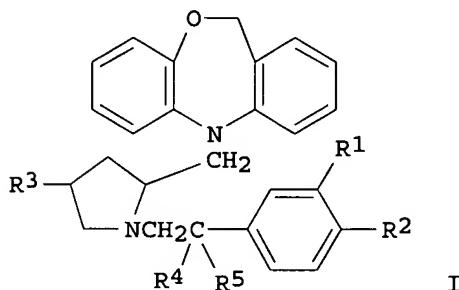
|            |    |          |                |          |
|------------|----|----------|----------------|----------|
| CN 1213371 | A  | 19990407 | CN 1997-193005 | 19970311 |
| CN 1085209 | B  | 20020522 |                |          |
| BR 9707962 | A  | 19990727 | BR 1997-7962   | 19970311 |
| JP 3127469 | B2 | 20010122 | JP 1997-532434 | 19970311 |
| AT 204871  | E  | 20010915 | AT 1997-905478 | 19970311 |
| ES 2159843 | T3 | 20011016 | ES 1997-905478 | 19970311 |
| NO 9804162 | A  | 19981105 | NO 1998-4162   | 19980910 |
| US 6127361 | A  | 20001003 | US 1998-147012 | 19980911 |
| US 6436922 | B1 | 20020820 | US 2000-597409 | 20000619 |

PRIORITY APPLN. INFO.:

|                |    |          |
|----------------|----|----------|
| JP 1996-83104  | A  | 19960311 |
| WO 1997-JP754  | W  | 19970311 |
| US 1998-147012 | A1 | 19980911 |

OTHER SOURCE(S): MARPAT 127:293256

GI



AB The title compds. I [R1, R2 = H, halo, etc.; or R1R2 = O(CH2)nO; n = 1 - 3; R3 = H, OH; R4, R5 = H, OH; or R4R5 = O] are prepd. I are calcium antagonists improving the motor function of the digestive tract. In an in vitro test for calcium antagonism using guinea pig ileum fragment, (R)-(+)-5,11-dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenz[b,e][1,4]oxazepine hydrochloride (II) showed IC50 of 85 nM; in the test for calcium antagonism using rat artery fragment, II showed IC50 of 200 nM. II showed no anticholinergic activity. II gave better improvement of the motor function of the digestive tract than nifedipine. In the test for hypotensive activity, II showed ED50 of > 1000 mg/kg p.o., vs. ED50 of 4 mg/kg p.o. for nifedipine.

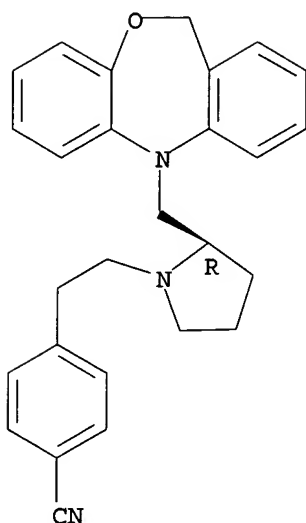
IT 195991-57-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of dihydrodibenzoxazepine derivs. for improving the motor function of the digestive tract)

RN 195991-57-2 CAPLUS

CN Benzonitrile, 4-[2-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L8 ANSWER 14 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1997:501445 CAPLUS  
 DOCUMENT NUMBER: 127:121640  
 TITLE: Piperidinecarboxylic acid derivatives for treatment of  
 non-insulin-dependent diabetes mellitus  
 INVENTOR(S): Olsen, Uffe Bang  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Olsen, Uffe Bang  
 SOURCE: PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE     |
|---|------|-------------------|-----------------|----------|
| WO 9722342  | A1   | 19970626          | WO 1996-DK520   | 19961210 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,<br>DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,<br>LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,<br>RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,<br>AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,<br>IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,<br>MR, NE, SN, TD, TG |      |                   |                 |          |
| AU 9711383  | A1   | 19970714          | AU 1997-11383   | 19961210 |
| PRIORITY APPLN. INFO.:  |      |                   | DK 1995-1425    | 19951215 |
|   |      |                   | WO 1996-DK520   | 19961210 |
| OTHER SOURCE(S):  |      | MARPAT 127:121640 |                 |          |
| GI  |      |                   |                 |          |

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1, R2 = H, halogen, CF3, alkyl, alkoxy; R3 = OH, alkoxy;  
 R4, R5 = H; R4R5 = bond; X = (CH2)s; X1 = (CH2)r; Y = NCH2, CHCH2, C:CH,  
 CHCH:N, C:N; Z = O, S, CH2, CH2CH2, CH:CHCH2, CH2CH:CH, (CH2)3, CH:CH,  
 OCH2; m = 1, n = 1; m = 2, n = 0; p, q = 0, 1; r = 2-4; s = 0-2] were



prepd. for use in the treatment of insulin resistance related to NIDDM (non-insulin-dependent diabetes mellitus) or aging (no data). Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was treated with (ClCH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>O and Et (R)-3-piperidinecarboxylate, followed by ester hydrolysis to give the acid II.

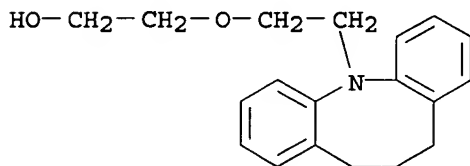
IT 146844-43-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperidinecarboxylic acid derivs. for treatment of non-insulin-dependent diabetes mellitus)

RN 146844-43-1 CAPLUS

CN Ethanol, 2-[2-(11,12-dihydrodibenz[b,g]azocin-5(10H)-yl)ethoxy] - (9CI)  
(CA INDEX NAME)



L8 ANSWER 15 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:501427 CAPLUS

DOCUMENT NUMBER: 127:121639

TITLE: Piperidinecarboxylic acid derivatives for reducing blood glucose levels

INVENTOR(S): Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

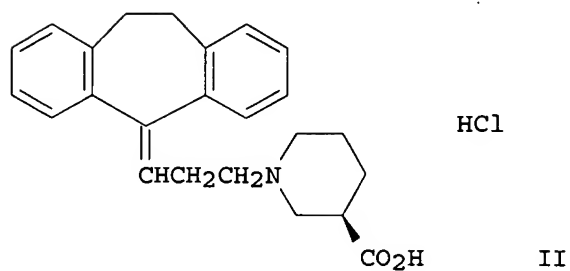
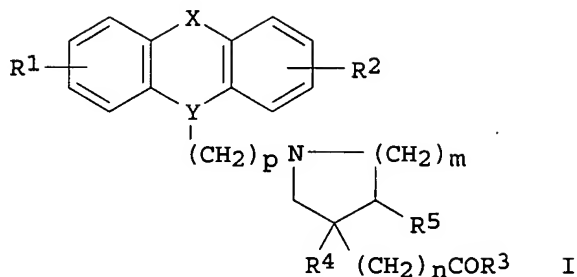
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND   | DATE     | APPLICATION NO. | DATE       |
|------------------------|--|----------|-----------------|------------|
| WO 9722338             | A1   | 19970626 | WO 1996-DK524   | 19961212   |
| W:                     | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |          |                 |            |
| RW:                    | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG   |          |                 |            |
| CA 2239487             | AA   | 19970626 | CA 1996-2239487 | 19961212   |
| AU 9711384             | A1   | 19970714 | AU 1997-11384   | 19961212   |
| AU 704825              | B2   | 19990506 |                 |            |
| EP 869777              | A1   | 19981014 | EP 1996-942264  | 19961212   |
| R:                     | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO   |          |                 |            |
| CN 1204258             | A  | 19990106 | CN 1996-199019  | 19961212   |
| BR 9612005             | A  | 19990209 | BR 1996-12005   | 19961212   |
| JP 3048067             | B2   | 20000605 | JP 1997-522429  | 19961212   |
| US 5741791             | A  | 19980421 | US 1996-766839  | 19961213   |
| NO 9802732             | A  | 19980814 | NO 1998-2732    | 19980612   |
| PRIORITY APPLN. INFO.: |  |          | DK 1995-1426    | A 19951215 |
|                        |  |          | WO 1996-DK524   | W 19961212 |
| OTHER SOURCE(S):       | MARPAT 127:121639  |          |                 |            |

GI



AB Title compds. I [R1, R2 = H, halogen, CF3, alkyl, alkoxy; R3 = OH, alkoxy; R4, R5 = H, R4R5 = bond; X = O, S, (un)substituted CH2, CH2CH2, CH:CHCH2, CH2CH:CH, (CH2)3, CH:CH, (un)substituted NHCO, OCH2, CO, CS; Y = NCH2, CHCH2, C:CH; m = n = 1; m = 2, n = 0; p = 1-3] were prepd. for use in reducing blood glucose and/or inhibiting the secretion, circulation or effect of insulin antagonizing peptides like CGRP or amylin. Thus, acid II was prepd. from 10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-one in 4 steps. II at 100 mg/L in drinking water lowered CGRP levels in mice from 260 to 152 pg/mL.

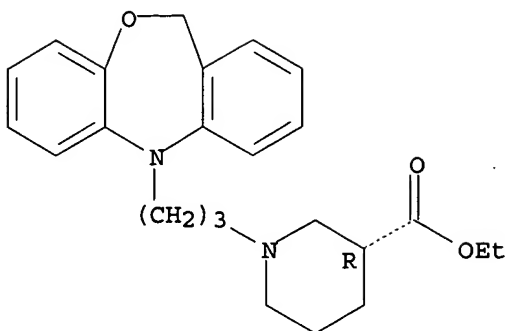
IT 170150-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of piperidinecarboxylic acid derivs. for reducing blood glucose levels)

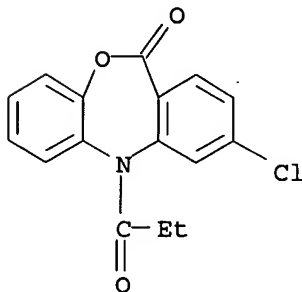
RN 170150-38-6 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



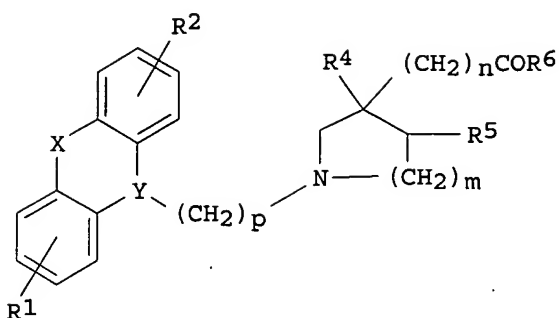
L8 ANSWER 16 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1997:324924 CAPLUS  
 DOCUMENT NUMBER: 127:65747  
 TITLE: Convenient synthesis of 6-substituted-2-chloro-5,12-dihydro-5-oxobenzoxazolo[3,2-a]quinolines and N-acylated-3-chlorodibenz[b,e][1,4]oxazepin-11(5H)-ones  
 AUTHOR(S): Chung, Sang J.; Joo, Keum Chan; Kim, Dong H.  
 CORPORATE SOURCE: Department of Chemistry and Center for Biofunctional Molecules, Pohang University of Science and Technology, Hyojadong Pohang, 790-784, S. Korea  
 SOURCE: Journal of Heterocyclic Chemistry (1997), 34(2), 485-488  
 CODEN: JHTCAD; ISSN: 0022-152X  
 PUBLISHER: HeteroCorporation  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 127:65747  
 AB Convenient synthesis of variously substituted 2-chloro-5,12-dihydro-5-oxobenzoxazolo[3,2-a]quinolines at the 6-position and N-acylated-3-chlorodibenz[b,e][1,4]oxazepin-11(5H)-ones are reported. The former compds. were obtained in 65-93% yield by simply heating N-acyl-4-chloro-N-(2-hydroxyphenyl)anthranilic acids in acetic anhydride for 4 h, and the latter by heating the sodium salt of N-acyl-4-chloro-N-(2-hydroxyphenyl)anthranilic acids with acetic anhydride.  
 IT 191337-64-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 191337-64-1 CAPLUS  
 CN Dibenz[b,e][1,4]oxazepin-11(5H)-one, 3-chloro-5-(1-oxopropyl)- (9CI) (CA INDEX NAME)



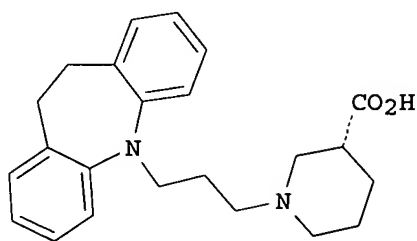
09/ 076,575

L8 ANSWER 17 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1995:913379 CAPLUS  
DOCUMENT NUMBER: 123:313776  
TITLE: Novel azaheterocyclic acids useful as analgesics and  
antiinflammatories.  
INVENTOR(S): Andersen, Knud Erik; Olsen, Uffe Bang; Petersen, Hans;  
Groenvald, Frederik Christian; Sonnewald, Ursula;  
Joergensen, Tine Krogh; Andersen, Henrik Sune  
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
SOURCE: PCT Int. Appl., 54 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE                                   | APPLICATION NO. | DATE       |
|---|------|--|-----------------|------------|
| WO 9518793  | A1   | 19950713                               | WO 1995-DK2     | 19950103   |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG,<br>KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO,<br>RU, SD, SI, SK, TJ, TT, UA, UZ, VN<br>RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |  |                 |            |
| IL 112222   | A1   | 19991231                               | IL 1995-112222  | 19950102   |
| CA 2180238  | AA   | 19950713                               | CA 1995-2180238 | 19950103   |
| AU 9513110  | A1   | 19950801                               | AU 1995-13110   | 19950103   |
| AU 691858   | B2   | 19980528                               |                 |            |
| EP 738262   | A1   | 19961023                               | EP 1995-904409  | 19950103   |
| EP 738262   | B1   | 20000419                               |                 |            |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE   |      |  |                 |            |
| CN 1142226  | A    | 19970205                               | CN 1995-191845  | 19950103   |
| CN 1083431  | B    | 20020424                               |                 |            |
| HU 75878  | A2   | 19970528                               | HU 1996-1842    | 19950103   |
| JP 09507239   | T2   | 19970722                               | JP 1995-518275  | 19950103   |
| JP 2944221  | B2   | 19990830                               |                 |            |
| BR 9506452  | A    | 19970902                               | BR 1995-6452    | 19950103   |
| CZ 286109   | B6   | 20000112                               | CZ 1996-1921    | 19950103   |
| AT 191909   | E    | 20000515                               | AT 1995-904409  | 19950103   |
| ES 2147837  | T3   | 20001001                               | ES 1995-904409  | 19950103   |
| PL 180209   | B1   | 20010131                               | PL 1995-315294  | 19950103   |
| RU 2167152  | C2   | 20010520                               | RU 1996-116134  | 19950103   |
| NZ 277763   | A    | 20011130                               | NZ 1995-277763  | 19950103   |
| ZA 9500031  | A    | 19960704                               | ZA 1995-31      | 19950104   |
| NO 9602811  | A    | 19960904                               | NO 1996-2811    | 19960703   |
| FI 9602749  | A    | 19960904                               | FI 1996-2749    | 19960704   |
| PRIORITY APPLN. INFO.:  |      |  | DK 1994-19      | A 19940104 |
|   |      |  | DK 1994-1290    | A 19941109 |
|   |      |  | WO 1995-DK2     | W 19950103 |
| OTHER SOURCE(S):  |      | CASREACT 123:313776; MARPAT 123:313776 |                 |            |
| GI  |      |  |                 |            |



I



II

AB The invention relates to novel N-substituted azaheterocyclic carboxylic acids and esters I [R1, R2 = H, halo, CF3, alkyl, alkoxy; Y = NCH2, CHCH2, or C:CH, where only the 1st atom is within the ring; X = O, S, CR7R8, CH2CH2, CH:CHCH2, CH2CH:CH, CH2CH2CH2, CH:CH, NR9CO, OCH2, CO, SO; R7, R8, R9 = H, alkyl; p = 1, 2, 3; m = 1, 2; n = 1 when m = 1; or n = 0 when m = 2; R4 = R5 = H, or R4R5 = bond when m = 2; R6 = OH, alkoxy]. Also disclosed are prepn. of I, compns. contg. I, and use of I for treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. For example, 10,11-dihydro-5H-dibenz[b,f]azepine was alkylated in the 5-position by NaH and 3-bromopropyl tetrahydro-2-pyranylether, followed by deprotection with HCl in refluxing aq. MeOH, to give the 5-(3-hydroxypropyl) deriv. This underwent mesylation with MeSO2Cl and Et3N, and the mesylate was treated with (R)-3-piperidinecarboxylic acid Et ester (tartrate salt) and then hydrolyzed to give title compd. II, isolated as the HCl salt (III). In the formalin-induced pain response test in mice, III at 0.1 mg/kg gave 50% inhibition.

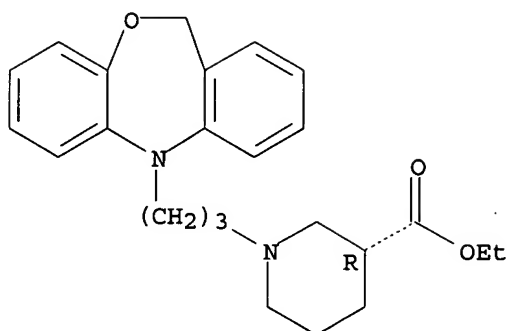
IT 170150-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; prepn. of azaheterocyclic acids as analgesics and antiinflammatories)

RN 170150-38-6 CAPLUS

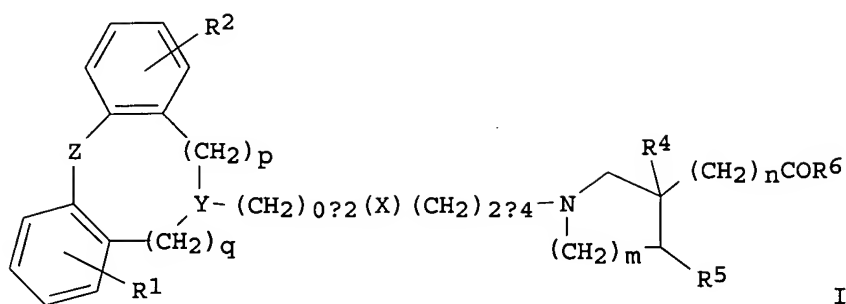
CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 18 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1995:808091 CAPLUS  
 DOCUMENT NUMBER: 123:188590  
 TITLE: A method of treating neurogenic inflammation  
 INVENTOR(S): Andersen, Knud Erik; Olsen, Uffe Bang  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.  | KIND | DATE              | APPLICATION NO. | DATE     |
|---|------|-------------------|-----------------|----------|
| WO 9518615  | A1   | 19950713          | WO 1995-DK3     | 19950103 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, UZ, VN |      |                   |                 |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE  |      |                   |                 |          |
| CA 2180239  | AA   | 19950713          | CA 1995-2180239 | 19950103 |
| AU 9513111  | A1   | 19950801          | AU 1995-13111   | 19950103 |
| EP 735872   | A1   | 19961009          | EP 1995-904410  | 19950103 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE   |      |                   |                 |          |
| CN 1142183  | A    | 19970205          | CN 1995-191801  | 19950103 |
| HU 76281  | A2   | 19970728          | HU 1996-1826    | 19950103 |
| JP 09507849   | T2   | 19970812          | JP 1995-518276  | 19950103 |
| BR 9506453  | A    | 19970902          | BR 1995-6453    | 19950103 |
| ZA 9500030  | A    | 19960704          | ZA 1995-30      | 19950104 |
| NO 9602812  | A    | 19960904          | NO 1996-2812    | 19960703 |
| FI 9602750  | A    | 19960904          | FI 1996-2750    | 19960704 |
| PRIORITY APPLN. INFO.:  |      |                   | DK 1994-20      | 19940104 |
|   |      |                   | WO 1995-DK3     | 19950103 |
| OTHER SOURCE(S):  |      | MARPAT 123:188590 |                 |          |
| GI  |      |                   |                 |          |



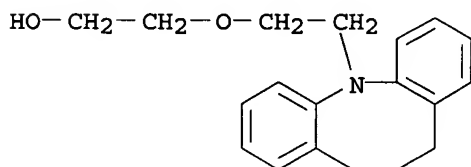
AB A method of treating neurogenic inflammation comprises administering an effective amt. of a compd. I [R<sub>1</sub>, R<sub>2</sub> = H, halogen, trifluoromethyl, C<sub>1</sub>-6 alkyl or alkoxy; Y = NCH<sub>2</sub>, CHCH<sub>2</sub>; C:CH, CHCH:N, C:N; X = O; Z = O, S, CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, CH:CHCH<sub>2</sub>, CH<sub>2</sub>CH:CH, (CH<sub>2</sub>)<sub>3</sub>, CH:CH, OCH<sub>2</sub>; R<sub>4</sub>, R<sub>5</sub> = H or a bond; R<sub>6</sub> = OH, C<sub>1</sub>-8 alkoxy; p, q = 0, 1; a = 0-2; b = 2-4; m = 1, 2; n = 0, 1] or a pharmaceutically acceptable salt thereof.

IT 146844-43-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(piperidine carboxylate derivs. as neurogenic inflammation inhibitors)

RN 146844-43-1 CAPLUS

CN Ethanol, 2-[2-(11,12-dihydrodibenz[b,g]azocin-5(10H)-yl)ethoxy] - (9CI)  
(CA INDEX NAME)



L8 ANSWER 19 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:570871 CAPLUS

DOCUMENT NUMBER: 122:314588

TITLE: Preparation of sulfonamide and sulfonic ester derivatives each having tricyclic heterocyclic ring as antitumor agents

INVENTOR(S): Yoshino, Hiroshi; Ueda, Norihiro; Niijima, Jun; Haneda, Toru; Kotake, Yoshihiko; Yoshimatsu, Kentaro; Watanabe, Tatsuo; Nagasu, Takeshi; Tsukahara, Naoko; et al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| WO 9503279   | A1   | 19950202 | WO 1994-JP1231  | 19940726 |
| W: CA, FI, NO, RU, US  |      |          |                 |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE |      |          |                 |          |
| CA 2144854   | AA   | 19950202 | CA 1994-2144854 | 19940726 |

|   |    |          |                |             |
|---|----|----------|----------------|-------------|
| EP 679641                                     | A1 | 19951102 | EP 1994-921819 | 19940726    |
| EP 679641                                     | B1 | 20021002 |                |             |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE |    |          |                |             |
| JP 08081441                                   | A2 | 19960326 | JP 1994-174643 | 19940726    |
| AT 225334                                     | E  | 20021015 | AT 1994-921819 | 19940726    |
| NO 9501108                                    | A  | 19950523 | NO 1995-1108   | 19950323    |
| US 5834462                                    | A  | 19981110 | US 1995-397254 | 19950323    |
| FI 9501416                                    | A  | 19950517 | FI 1995-1416   | 19950324    |
| US 5854274                                    | A  | 19981229 | US 1996-760738 | 19961205    |
| US 5846969                                    | A  | 19981208 | US 1997-873033 | 19970611    |
| PRIORITY APPLN. INFO.:                        |    |          | JP 1993-202466 | A 19930726  |
|   |    |          | JP 1994-158870 | A 19940711  |
|   |    |          | WO 1994-JP1231 | W 19940726  |
|   |    |          | US 1995-397254 | A3 19950323 |
|   |    |          | US 1996-760738 | A3 19961205 |

OTHER SOURCE(S): MARPAT 122:314588

GI For diagram(s), see printed CA Issue.

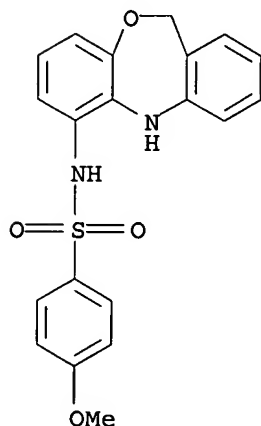
AB N-heterocyclarylarylsulfonamide and heterocyclaryl arylsulfonate derivs. each having a tricyclic hetero ring, represented by general formula G-SO<sub>2</sub>-L-M [G = a 5- or 6-membered arom. ring; L = O or NR<sub>1</sub>, wherein R<sub>1</sub> = H or lower alkyl; M = a tricyclic structure selected from the members Q - Q<sub>5</sub>, wherein rings A and B represent each a 5 or 6-membered unsatd. ring; X = NR<sub>2</sub> (wherein R<sub>2</sub> = H or lower alkyl) or NHCO; Y = O, S(O)<sub>n</sub>, CR<sub>3</sub>R<sub>4</sub>, CO, NR<sub>5</sub>, CHR<sub>6</sub>CHR<sub>7</sub>, CR<sub>8</sub>:R<sub>9</sub>, NR<sub>10</sub>CO, N:CR<sub>11</sub>, OCHR<sub>12</sub>, S(O)<sub>n</sub>CH<sub>13</sub>, or NR<sub>14</sub>CHR<sub>15</sub>; Z = N or CR<sub>16</sub>, wherein n represents 0, 1 or 2; R<sub>3</sub> - R<sub>13</sub>, R<sub>15</sub>, R<sub>16</sub> = H or lower alkyl; R<sub>14</sub> = H, lower alkyl, or lower acyl] are prepd. Thus, 107 mg 1-amino-10H-phenothiazine was dissolved in pyridine and a soln. of 115 mg 4-methoxybenzenesulfonyl chloride in THF was added followed by stirring the mixt. overnight at room temp. to give, after silica gel chromatog., a title compd. (I) (115 mg). I and phenothiazin-3-one deriv. (II) showed IC<sub>50</sub> of 0.11 and 0.016 .mu.g/mL against KB cells (human nasal cavity cancer). A total of 49 I were prepd.

IT 163307-93-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-heterocyclarylarylsulfonamide as antitumor agent)

RN 163307-93-5 CAPLUS

CN Benzenesulfonamide, N-(5,11-dihydrodibenz[b,e][1,4]oxazepin-6-yl)-4-methoxy- (9CI) (CA INDEX NAME)





09/ 076,575

TITLE: Mediators suitable for the electrochemical  
regeneration of NADH, NADPH or their analogs  
INVENTOR(S): Corey, Paul F.; Musho, Matthew K.  
PATENT ASSIGNEE(S): Miles Inc., USA  
SOURCE: U.S., 8 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| US 5393615  | A    | 19950228 | US 1994-190855  | 19940203 |
| AU 9480280  | A1   | 19950810 | AU 1994-80280   | 19941207 |
| AU 674463   | B2   | 19961219 |                 |          |
| EP 667397   | A1   | 19950816 | EP 1995-100849  | 19950123 |
| EP 667397   | B1   | 20011004 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE |      |          |                 |          |
| AT 206466   | E    | 20011015 | AT 1995-100849  | 19950123 |
| ES 2161787  | T3   | 20011216 | ES 1995-100849  | 19950123 |
| CA 2141494  | AA   | 19950804 | CA 1995-2141494 | 19950131 |
| CA 2141494  | C    | 20030114 |                 |          |
| JP 07310194   | A2   | 19951128 | JP 1995-15025   | 19950201 |

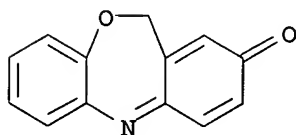
PRIORITY APPLN. INFO.: US 1994-190855 A 19940203

AB Disclosed is the use of 9H-acridin-2-one and 11H-dibenz-[b,e][1,4]oxazepin-2-one compds. as mediators suitable for the electrochem. regeneration of the coenzymes dihydronicotinamide adenine dinucleotide (NADH), dihydronicotinamide adenine dinucleotide phosphate (NADPH), or their analogs.

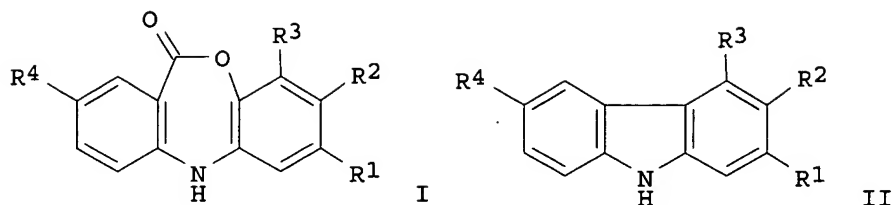
IT **162964-68-3DP**, Dibenz[b,e][1,4]oxazepin-2(11H)-one, compds.  
RL: ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)  
(mediators for electrochem. regeneration of NADH or NADPH or their analogs)

RN 162964-68-3 CAPLUS

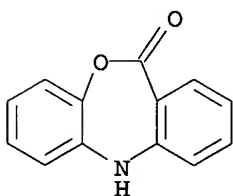
CN Dibenz[b,e][1,4]oxazepin-2(11H)-one (9CI) (CA INDEX NAME)



L8 ANSWER 21 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1994:655579 CAPLUS  
DOCUMENT NUMBER: 121:255579  
TITLE: Photochemical synthesis of carbazoles from  
dibenzo[b,e][1,4]oxazepin-11(5H)-ones  
AUTHOR(S): Kudav, Dinesh P.; Kulkarni, Narendra N.; Hosangadi,  
Bhaskar D.  
CORPORATE SOURCE: Dep. Chem., Univ. Bombay, Bombay, 400 098, India  
SOURCE: Journal of Chemical Research, Synopses (1994), (7),  
266-7  
CODEN: JRPSDC; ISSN: 0308-2342  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 121:255579  
GI



AB Dibenzo[b,e][1,4]oxazepin-11(5H)-ones I (R1-R4 = H, Me, OMe, nitro) were  
 prepd. from substituted anthranilic acid derivs. The photochem.  
 cyclocondensation reaction of I furnished the carbazoles II (Same R1-R4).  
 IT **15676-55-8P**, Depsazidone  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as intermediate for carbazole)  
 RN 15676-55-8 CAPLUS  
 CN Dibenzo[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)

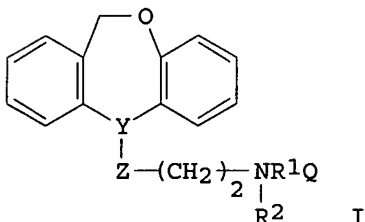


L8 ANSWER 22 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1994:595901 CAPLUS  
 DOCUMENT NUMBER: 121:195901  
 TITLE: Immunogen and tracer reagents and methods for the  
 immunochemical quantification of total doxepins in  
 biological fluids  
 INVENTOR(S): Adamczyk, Maciej; Fishpaugh, Jeffrey R.; Johnson,  
 Donald; Hruska, Robert E.  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 738,400,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE     |
|--|------|----------|-----------------|----------|
| US 5332661   | A    | 19940726 | US 1992-916066  | 19920724 |
| CA 2111467   | AA   | 19930218 | CA 1992-2111467 | 19920729 |
| CA 2111467   | C    | 20021112 |                 |          |
| WO 9303372   | A1   | 19930218 | WO 1992-US6318  | 19920729 |
| W: AU, CA, JP, KR  |      |          |                 |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE |      |          |                 |          |
| AU 9224206   | A1   | 19930302 | AU 1992-24206   | 19920729 |
| EP 641440  | A1   | 19950308 | EP 1992-917171  | 19920729 |
| EP 641440  | B1   | 20001108 |                 |          |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL                  |      |          |                 |          |
| JP 3071824   | B2   | 20000731 | JP 1993-503720  | 19920729 |

09/ 076,575

|                        |                   |          |                |             |
|------------------------|-------------------|----------|----------------|-------------|
| JP 06509797            | T2                | 19941102 |                |             |
| AT 197508              | E                 | 20001111 | AT 1992-917171 | 19920729    |
| ES 2153361             | T3                | 20010301 | ES 1992-917171 | 19920729    |
| US 5464767             | A                 | 19951107 | US 1994-226809 | 19940412    |
| PRIORITY APPLN. INFO.: |                   |          | US 1991-738400 | B2 19910731 |
|                        |                   |          | US 1992-916066 | A 19920724  |
|                        |                   |          | WO 1992-US6318 | A 19920729  |
| OTHER SOURCE(S):       | MARPAT 121:195901 |          |                |             |
| GI                     |                   |          |                |             |



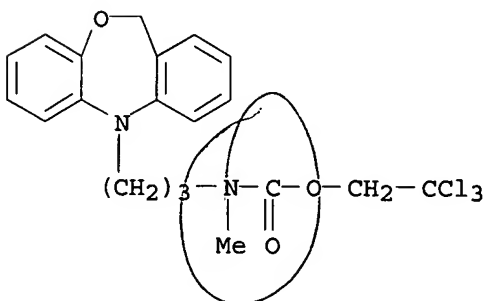
AB Immunoassay methods and reagents for the quantification of total doxepins (i.e., E-doxepin, Z-doxepin, E-desmethyldoxepin, and Z-desmethyldoxepin) in a test sample are disclosed. The methodol. uses antibodies prepd. with immunogens I (YZ = NCH<sub>2</sub>, CH:CH, R<sub>1</sub> = linking group with 1-6 C and 0-2 heteroatoms; R<sub>2</sub> = H, Me; Q = immunogenic carrier) and labeled reagents I (YZ, R<sub>1</sub>, R<sub>2</sub> as above; Q = detectable moiety). Prepn. of immunogens and labeled compds. is included. A fluorescence polarization immunoassay for total doxepins using the compds. of the invention is described; std. curves are included. There was a good correlation of the above assay with an HPLC assay.

IT 141990-98-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of, in reagent prepn. for total doxepin immunoassay)

RN 141990-98-9 CAPLUS

CN Carbamic acid, (3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)methyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 23 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:472937 CAPLUS

DOCUMENT NUMBER: 119:72937

TITLE: A new chromogenic beta-galactosidase substrate based on the redox indicator dye 'methyl purple'

AUTHOR(S): Corey, Paul F.

CORPORATE SOURCE: Diagn. Div., Miles Inc., Elkhart, IN, 46515, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(2), 175-8

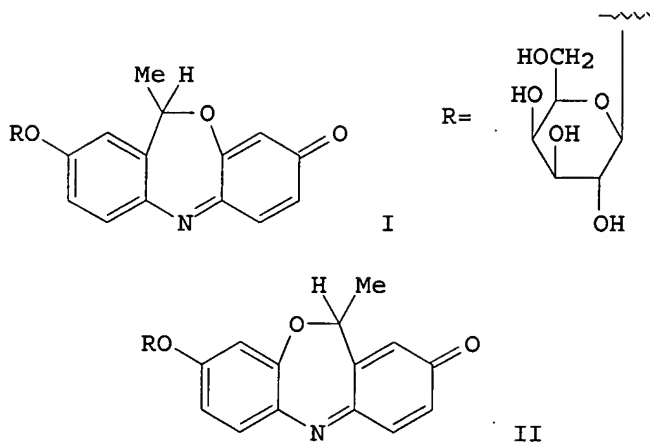
DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI



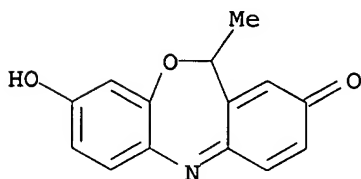
AB The .beta.-galactoside of 'methyl purple' I and II is a new chromogenic substrate that exhibits a 137 nm color shift upon hydrolysis at pH 7.4, a  $K_m$  of 0.075 mM and a  $k_{cat}$  of 1.2 .times. 104 mol min<sup>-1</sup>/mol of .beta.-galactosidase active site.

IT 50354-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(glycosidation of)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 24 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:205217 CAPLUS

DOCUMENT NUMBER: 118:205217

TITLE: Reagents and methods for the immunochemical quantification of total tricyclic antidepressant doxepins in biological fluids

INVENTOR(S): Adamczyk, Maciej; Fishpaugh, Jeffrey R.; Hruska, Robert E.; Johnson, Donald

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

| PATENT NO.   | KIND | DATE     | APPLICATION NO. | DATE       |
|--|------|----------|-----------------|------------|
| WO 9303372   | A1   | 19930218 | WO 1992-US6318  | 19920729   |
| W: AU, CA, JP, KR  |      |          |                 |            |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE |      |          |                 |            |
| US 5332661   | A    | 19940726 | US 1992-916066  | 19920724   |
| AU 9224206   | A1   | 19930302 | AU 1992-24206   | 19920729   |
| EP 641440  | A1   | 19950308 | EP 1992-917171  | 19920729   |
| EP 641440  | B1   | 20001108 |                 |            |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL                  |      |          |                 |            |
| JP 3071824   | B2   | 20000731 | JP 1993-503720  | 19920729   |
| JP 06509797  | T2   | 19941102 |                 |            |
| AT 197508  | E    | 20001111 | AT 1992-917171  | 19920729   |
| PRIORITY APPLN. INFO.:                                     |      |          | US 1991-738400  | A 19910731 |
|  |      |          | US 1992-916066  | A 19920724 |
|  |      |          | WO 1992-US6318  | A 19920729 |

OTHER SOURCE(S): MARPAT 118:205217

AB Immunoassay methods and reagents are disclosed for the detn. of total doxepins (i.e. E-doxepin, Z-doxepin, E-demethyldoxepin, and Z-desmethyldoxepin) in a test sample. Doxepin derivs. contg. a conjugated immunogenic protein (for antibody prodn.) or a detectable label (for a tracer) are provided (Markush included). Prepn. of doxepin derivs. and their conjugation with albumin or reaction with e.g. aminomethylfluorescein are described. Antisera raised using the prepd. immunogens, as well as the prepd. tracers, were used in a fluorescence-polarization immunoassay for total doxepins (std. curves included). Linear regression anal. showed a good correlation between the assay of the invention and an HPLC assay.

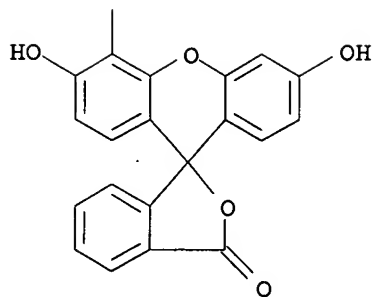
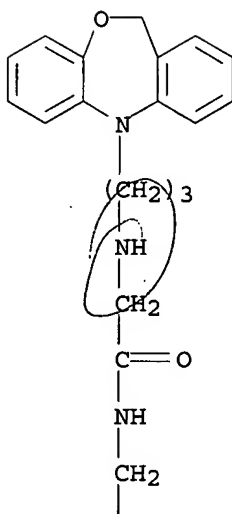
IT 147392-99-2

RL: ANST (Analytical study)

(as tracer for total doxepin immunoassay)

RN 147392-99-2 CAPLUS

CN Acetamide, 2-[(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)amino]-N-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-4'-yl)methyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 25 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1993:168995 CAPLUS  
 DOCUMENT NUMBER: 118:168995  
 TITLE: Novel heterocyclic carboxylic acids  
 INVENTOR(S): Andersen, Knud Erik; Knutsen, Lars Jacob Stray;  
 Soerensen, Per Olav; Lundt, Behrend Friedrich; Lau,  
 Jesper; Petersen, Hans  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

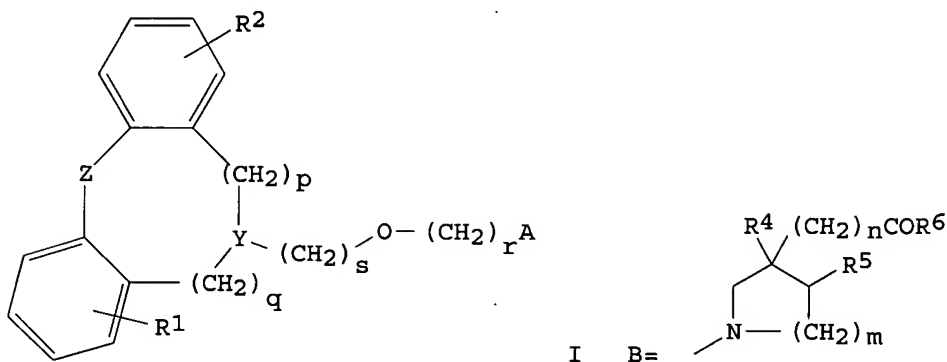
| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

|   |    |          |                 |          |
|---|----|----------|-----------------|----------|
| WO 9220658  | A1 | 19921126 | WO 1992-DK155   | 19920514 |
| W: AU, BG, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU             |    |          |                 |          |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE    |    |          |                 |          |
| CA 2102811  | AA | 19921118 | CA 1992-2102811 | 19920514 |
| AU 9217837  | A1 | 19921230 | AU 1992-17837   | 19920514 |
| AU 665761   | B2 | 19960118 |                 |          |
| EP 585314   | A1 | 19940309 | EP 1992-910899  | 19920514 |
| EP 585314   | B1 | 19960918 |                 |          |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE |    |          |                 |          |
| JP 06507616   | T2 | 19940901 | JP 1992-509775  | 19920514 |
| US 5348965  | A  | 19940920 | US 1992-882788  | 19920514 |
| AT 143009   | E  | 19961015 | AT 1992-910899  | 19920514 |
| ES 2094357  | T3 | 19970116 | ES 1992-910899  | 19920514 |
| ZA 9203556  | A  | 19930127 | ZA 1992-3556    | 19920515 |
| IL 101887   | A1 | 19961016 | IL 1992-101887  | 19920515 |
| NO 9304159  | A  | 19931117 | NO 1993-4159    | 19931117 |

PRIORITY APPLN. INFO.: DK 1991-937 19910517  
WO 1992-DK155 19920514

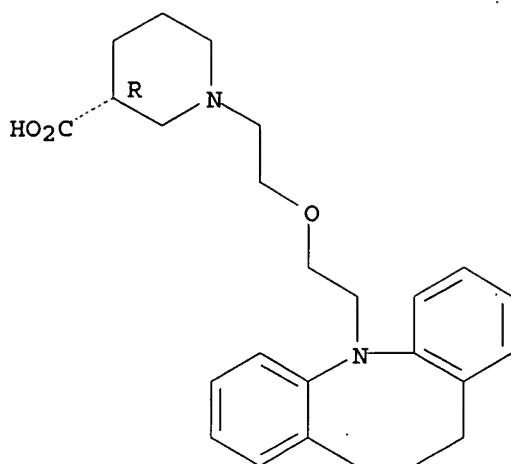
OTHER SOURCE(S): MARPAT 118:168995

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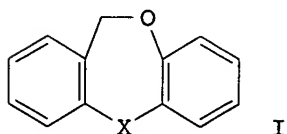
- AB The title compd. I (A = B; R1, R2 = H, halo, F, C, C1-6-alkyl, -alkoxy; R4, R5 = H; R4R5 = direct bond; R6 = OH, C1-8-alkoxy; Y = >NCH2-, >CHCH2-, >C:CH-; Z = O, S, CH2, etc.; m, n, p-s = 0-4) (II) were prepd. by treating I (A = halo, p-toluenesulfonate, mesylate) with BH in the presence of an alkali metal iodide and K2CO3. II are useful in treating a central nervous system ailment related to GABA uptake.
- IT **146844-18-0P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and GABA inhibition by)
- RN 146844-18-0 CAPLUS
- CN 3-Piperidinecarboxylic acid, 1-[2-[2-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)ethoxy]ethyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



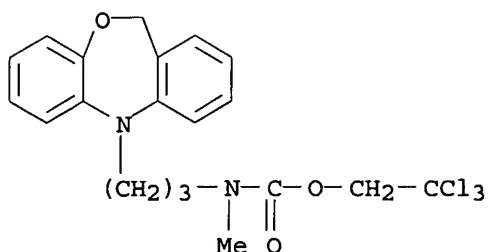
● HCl

L8 ANSWER 26 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1992:426530 CAPLUS  
 DOCUMENT NUMBER: 117:26530  
 TITLE: Efficient synthesis of tricyclic antidepressant  
 normetabolites.  
 AUTHOR(S): Adamczyk, Maciek; Fishpauh, Jeffrey R.; Johnson,  
 Donald  
 CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, 60064, USA  
 SOURCE: Organic Preparations and Procedures International  
 (1992), 24(2), 168-71  
 CODEN: OPPIAK; ISSN: 0030-4948  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 117:26530  
 GI



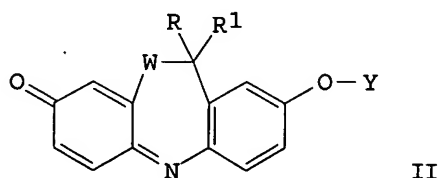
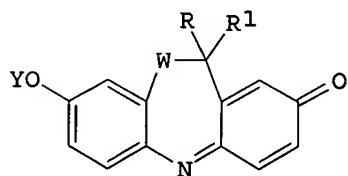
AB E- And Z-doxepins (I, X = C:CHCH<sub>2</sub>CH<sub>2</sub>NMe<sub>2</sub>) and dibenz[b,e][1,4]oxazepine I  
 (X = NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NMe<sub>2</sub>) were N-demethylated by sequential treatment with  
 Cl<sub>3</sub>CCCH<sub>2</sub>OCOC<sub>2</sub>H<sub>5</sub>/EtN(CHMe<sub>2</sub>)<sub>2</sub>/CHCl<sub>3</sub> and Zn/THF to give I (X = C:CHCH<sub>2</sub>CH<sub>2</sub>NHMe,  
 NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NHMe), resp., via carbamates I (X = C:CHCH<sub>2</sub>CH<sub>2</sub>NMeCO<sub>2</sub>CH<sub>2</sub>CCl<sub>3</sub>,  
 NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NMeCO<sub>2</sub>CH<sub>2</sub>CCl<sub>3</sub>).  
 IT **141990-98-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and reductive deacylation of, with zinc)  
 RN 141990-98-9 CAPLUS  
 CN Carbamic acid, (3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)methyl-,  
 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)





L8 ANSWER 27 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1991:247659 CAPLUS  
 DOCUMENT NUMBER: 114:247659  
 TITLE: Preparation of chromogenic hydroxydibenzoxazepinones and -dibenzothiazepiones, including their glycosides, as substrates for enzyme detection  
 INVENTOR(S): Corey, Paul F.  
 PATENT ASSIGNEE(S): Miles, Inc., USA  
 SOURCE: Eur. Pat. Appl., 18 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND              | DATE     | APPLICATION NO. | DATE       |
|------------------------|-------------------|----------|-----------------|------------|
| EP 402699              | A2                | 19901219 | EP 1990-110198  | 19900530   |
| EP 402699              | A3                | 19910130 |                 |            |
| EP 402699              | B1                | 19950222 |                 |            |
| R: DE, FR, GB, IT      |                   |          |                 |            |
| US 5104980             | A                 | 19920414 | US 1989-364157  | 19890612   |
| CA 2013525             | AA                | 19901212 | CA 1990-2013525 | 19900330   |
| CA 2013525             | C                 | 19970304 |                 |            |
| AU 9053967             | A1                | 19910103 | AU 1990-53967   | 19900426   |
| AU 609008              | B2                | 19910418 |                 |            |
| JP 03041073            | A2                | 19910221 | JP 1990-150072  | 19900611   |
| JP 3072350             | B2                | 20000731 |                 |            |
| DD 297965              | A5                | 19920130 | DD 1990-341536  | 19900611   |
| US 5183743             | A                 | 19930202 | US 1991-800112  | 19911129   |
| PRIORITY APPLN. INFO.: |                   |          | US 1989-364157  | A 19890612 |
| OTHER SOURCE(S):       | MARPAT 114:247659 |          |                 |            |
| GI                     |                   |          |                 |            |



AB The title compds. [I, II; Y = enzyme-cleavable group, e.g., glycosyl, acylglycosyl, acyl, (HO)2P(O); W = O, S; R, R1 = H, alkyl, aryl] were prepd. I [R = Me, R1 = Y = H, W = O] was glycosidated with acetobromogalactose in the presence of Ag2O in quinoline/AcOEt to give I [R = Me, R1 = H, W = O, Y = tetra-O-acetylgalactopyranosyl], which was sensitive enough to detect .beta.-galactosidase at 0.025 IU/mL.

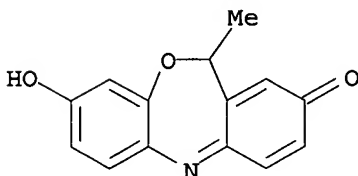
09/ 076,575

IT 50354-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(glycosidation of)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 28 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1990:98410 CAPLUS

DOCUMENT NUMBER: 112:98410

TITLE: Dibenzoxocinamines and related compounds as antipsychotics

INVENTOR(S): Rae, Duncan Robertson; Cairns, James

PATENT ASSIGNEE(S): AKZO N. V., Neth.

SOURCE: Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

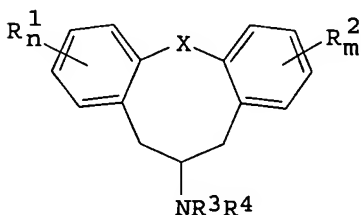
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

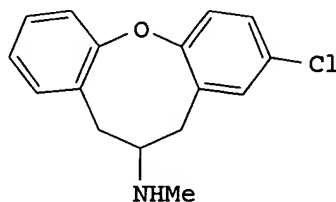
PATENT INFORMATION:

| PATENT NO.  | KIND             | DATE     | APPLICATION NO. | DATE     |
|---|------------------|----------|-----------------|----------|
| EP 332246   | A1               | 19890913 | EP 1989-200473  | 19890227 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE |                  |          |                 |          |
| ZA 8901625  | A                | 19891129 | ZA 1989-1625    | 19890302 |
| FI 8901099  | A                | 19890912 | FI 1989-1099    | 19890308 |
| US 4904688  | A                | 19900227 | US 1989-320340  | 19890308 |
| DK 8901152  | A                | 19890912 | DK 1989-1152    | 19890309 |
| JP 02004740                                       | A2               | 19900109 | JP 1989-57656   | 19890309 |
| AU 8931205  | A1               | 19890914 | AU 1989-31205   | 19890310 |
| PRIORITY APPLN. INFO.:                            |                  |          | EP 1988-302129  | 19880311 |
| OTHER SOURCE(S):                                  | MARPAT 112:98410 |          |                 |          |

GI



I



II

AB The title compds. (I; R1, R2 = H, OH, C1-6 alkyl, alkoxy, halo, CF3, CN; R3, R4 = H, C1-6 alkyl; R3R4N = 5- or 6-membered heterocyclyl; X = O, S, CH2, imino; m, n = 1-4), useful as antipsychotics devoid of extrapyramidal side effects (no data), were prepd. Thus, 5H-dibenz[b,g]oxocin-6(7H)-one (prepn. given) was refluxed 3 h in HCO2H/methylformamide contg. MgCl2.6H2O

09/ 076,575

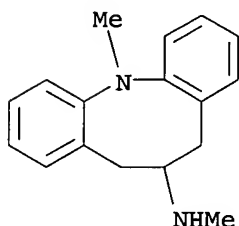
to give 6,7-dihydro-N-methyl-5H-dibenz[b,g]oxocine-6-formamide. The latter was refluxed with EtOH/50% aq. NaOH for 18 h to give 6,7-dihydro-N-methyl-5H-dibenz[b,g]oxocin-6-amine, isolated as the HCl salt. The preferred I is oxocinamine II. I are said to be very potent dopamine and serotonin antagonists.

IT 125449-17-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as antipsychotic)

RN 125449-17-4 CAPLUS

CN Dibenz[b,g]azocin-6-amine, 5,6,7,12-tetrahydro-N,12-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



L8 ANSWER 29 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:640655 CAPLUS

DOCUMENT NUMBER: 109:240655

TITLE: Electrophotographic photoreceptor containing hydrazone charge-transporting material

INVENTOR(S): Hirose, Hisahiro; Kinoshita, Akira; Takei, Yoshiaki; Goto, Satoshi

PATENT ASSIGNEE(S): Konica Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| JP 63186249            | A2   | 19880801 | JP 1987-17752   | 19870128 |
| PRIORITY APPLN. INFO.: |      |          | JP 1987-17752   | 19870128 |

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title electrophotog. photoreceptor has a layer contg. I [Y = bonding chain, unsubstituted methylene, (substituted) ethylene, (substituted) vinylene, (substituted) propylene; R1,R2 = (substituted) alkyl, (substituted) aryl, (substituted) aralkyl; R3-R10 = H, alkyl, alkoxy, OH, halogen; Ar1,Ar2 = (substituted) benzene ring; (substituted) polycondensed ring, (substituted) heterocyclic ring] as a charge-transporting material. The photoreceptor shows improved sensitivity, and durability. An

electrophotog. photoreceptor having a charge-generating layer contg. II and a charge-transporting layer contg. III showed the surface potential  $V_a = 1250$  V at the 1st measurement  $V_a = 1190$  V at the 100th measurement, and the exposure value  $E50500 = 7.0$  lx-s at the 1st measurement and  $E50500 = 6.7$  lx-s at the 100th measurement.

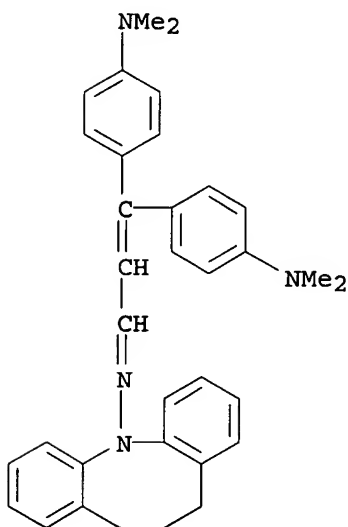
IT 117791-64-7

RL: USES (Uses)

(charge-transporting material, electrophotog. photoreceptor contg.)

RN 117791-64-7 CAPLUS

CN Dibenz[b,g]azocin-12(5H)-amine, N-[3,3-bis[4-(dimethylamino)phenyl]-2-propenylidene]-6,7-dihydro- (9CI) (CA INDEX NAME)



L8 ANSWER 30 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:458829 CAPLUS

DOCUMENT NUMBER: 107:58829

TITLE: The chemistry of 5,6,7,12-tetrahydro-5,7-dioxo-N-phenyldibenz[b,g]azocine: a new entry in the dibenz[b,g]azocine class

AUTHOR(S): Fox, John L.; Chen, Chin H.; Luss, Henry R.

CORPORATE SOURCE: Corp. Res. Lab., Eastman Kodak Co., Rochester, NY, 14650, USA

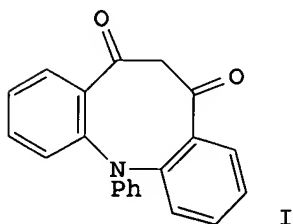
SOURCE: Journal of Organic Chemistry (1987), 52(14), 2980-3  
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:58829

GI



I

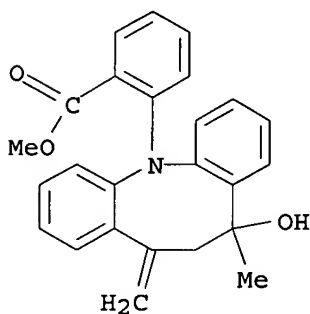
AB The title compd. I was isolated as a byproduct of methylating the sterically hindered 2,2'-dicarbomethoxytriphenylamine. The isolation, chem. and phys. characterization, and single-crystal x-ray structure of the title compd. are described. The structure and properties for several derivs. are also reported.

IT 108561-09-7P

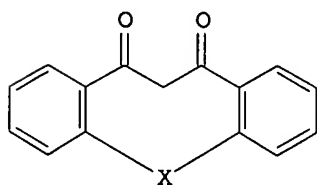
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and ring cleavage of)

RN 108561-09-7 CAPLUS

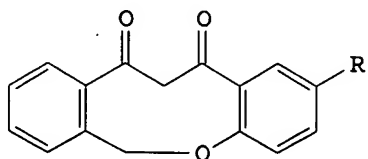
CN Benzoic acid, 2-(6,7-dihydro-5-hydroxy-5-methyl-7-methylenedibenz[b,g]azocin-12(5H)-yl)-, methyl ester (9CI) (CA INDEX NAME)



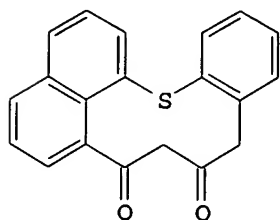
L8 ANSWER 31 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1987:458825 CAPLUS  
 DOCUMENT NUMBER: 107:58825  
 TITLE: Dibenzocyclooctene-, dibenzochalcocine-, and diarenochalconinediones  
 AUTHOR(S): Hellwinkel, Dieter; Bohnet, Siegbert  
 CORPORATE SOURCE: Org. Chem. Inst., Univ. Heidelberg, Heidelberg, D-6900/1, Fed. Rep. Ger.  
 SOURCE: Chemische Berichte (1987), 120(7), 1151-73  
 CODEN: CHBEAM; ISSN: 0009-2940  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 OTHER SOURCE(S): CASREACT 107:58825  
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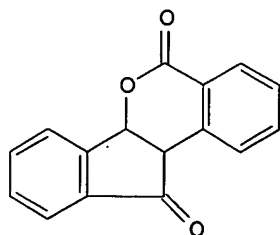
I



II

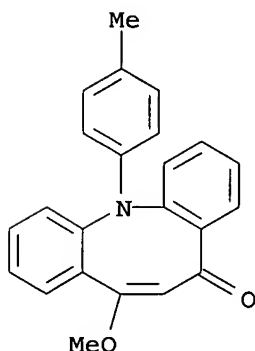


III



IV

- AB 2,2'-Oxybis-, -thiobis-, and -methylenebisbenzoic esters react with MeLi in ether to give low yields of 5H-dibenzo[b,g]chalcocine-5,7(6H)-diones I (X = O, S) and dibenzo[a,d]cyclooctene-5,7(6H,12H)-dione (I; X = CH<sub>2</sub>), resp. Very good yields of such heterocycles with oxygen, e.g., I (X = O), sulfur, e.g., I (X = S), and selenium I (X = Se) as key atoms are obtained when diaryl ethers, -sulfides, and -selenides that contain 2'-acetyl- (or-propionyl-) and 2-methoxycarbonyl groups are treated with NaH in boiling toluene. Analogously are prepd. the dibenz[b,g]oxonine-11,13(6H,12H)-diones II (R = H, Me, MeO) and 7H-benzo[h]naphtho[1,8-bc]thionine-7,9(8H)-dione (III), which are expanded by one ring member. In the analogous reaction of a corresponding benzophenone deriv. spiro[1H-indene-1,1'(3'H)-isobenzofuran]-3(2H),3'-dione (IV) is formed in a tandem reaction. Under phase transfer conditions the dibenzochalcocinediones and also the corresponding nitrogen cycles react to give mixts. of C- and O-alkyl derivs. With bromine and SO<sub>2</sub>Cl<sub>2</sub>, resp., the methylene group is mono- or dihalogenated to give the products.
- IT 104014-54-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)
- RN 104014-54-2 CAPLUS
- CN Dibenz[b,g]azocin-5(12H)-one, 7-methoxy-12-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 32 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:4845 CAPLUS

DOCUMENT NUMBER: 106:4845

TITLE: 12-Organoyldibenz[b,g]azocine-5,7-diones

AUTHOR(S): Hellwinkel, Dieter; Ittemann, Peter

CORPORATE SOURCE: Org.-Chem. Inst., Univ. Heidelberg, Heidelberg, 6900, Fed. Rep. Ger.

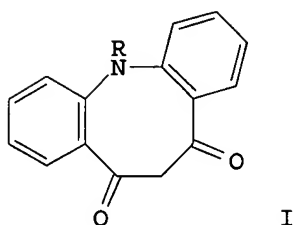
SOURCE: Chemische Berichte (1986), 119(10), 3165-97  
CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 106:4845

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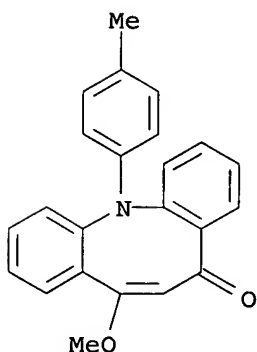
AB The title compds. I (R = Ph, substituted Ph, 1-naphthyl) and the p-phenylene dimer are formed in low yields on treatment of (2-MeO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>)<sub>2</sub>NR with MeLi, but in high yields in the intramol. ester condensation of 2-AcC<sub>6</sub>H<sub>4</sub>NRC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>Me-2 with NaH. I exist exclusively in the .beta.-diketo form and react with excess NaH or LiH to give the enolates. These, on treatment with MeI, form mixts. of C- and O-methylated derivs. Nucleophiles, such as NH<sub>2</sub>OH, arylhydrazines, MeLi, and also LiAlH<sub>4</sub>, condense or add to the carbonyl groups, whereas KOH-MeOH leads to ester or acid cleavage with ring opening. Electrophiles react predominantly at the N-aryl groups, but under more severe conditions also at the fused arenes. Strong acids, however, cause formal ketene extrusion and ring contraction, leading to acridones.

IT 104014-54-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 104014-54-2 CAPLUS

CN Dibenz[b,g]azocin-5(12H)-one, 7-methoxy-12-(4-methylphenyl) - (9CI) (CA INDEX NAME)



L8 ANSWER 33 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1985:109297 CAPLUS

DOCUMENT NUMBER: 102:109297

TITLE: Methyl purple, an exceptionally sensitive monitor of chloroplast photosystem I turnover: physical properties and synthesis

AUTHOR(S): Graan, Thomas; Ort, Donald R.; Prince, Roger C.

CORPORATE SOURCE: Dep. Plant Biol., Univ. Illinois, Urbana, IL, 61801, USA

SOURCE: Analytical Biochemistry (1985), 144(1), 193-8

CODEN: ANBCA2; ISSN: 0003-2697

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The specific molar absorption coeffs. of both the anionic and protonated forms of Me purple were detd. The oxidn.-redn. midpoint potential of Me

purple over the pH range 3 to 12 was also detd. by polarog. methods, and the effect of pH on the visible absorption spectrum is reported. A detailed procedure for the synthesis of Me purple is given.

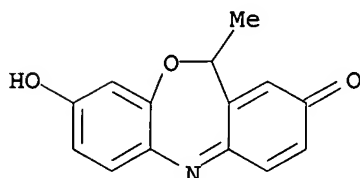
IT 50354-32-0P

RL: PREP (Preparation)

(prepn. of, as sensitive monitor of chloroplast photosystem I turnover)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 34 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:470780 CAPLUS

DOCUMENT NUMBER: 99:70780

TITLE: Tricyclic ethers and their use in pharmaceutical preparations

INVENTOR(S): Malen, Charles; Poignant, Jean Claude

PATENT ASSIGNEE(S): ADIR, Fr.

SOURCE: Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

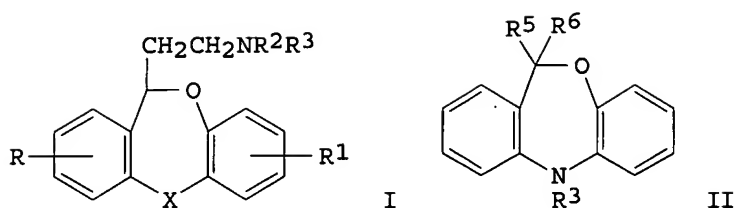
PATENT INFORMATION:

| PATENT NO.                                    | KIND | DATE     | APPLICATION NO. | DATE     |
|---|------|----------|-----------------|----------|
| EP 74304                                      | A1   | 19830316 | EP 1982-401567  | 19820824 |
| EP 74304                                      | B1   | 19850403 |                 |          |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE |      |          |                 |          |
| FR 2512024                                    | A1   | 19830304 | FR 1981-16347   | 19810827 |
| FR 2512024                                    | B1   | 19840106 |                 |          |
| US 4496557                                    | A    | 19850129 | US 1982-408451  | 19820816 |
| CA 1227481                                    | A1   | 19870929 | CA 1982-409886  | 19820820 |
| AT 12497                                      | E    | 19850415 | AT 1982-401567  | 19820824 |
| ES 515232                                     | A1   | 19831101 | ES 1982-515232  | 19820825 |
| AU 8287730                                    | A1   | 19830303 | AU 1982-87730   | 19820826 |
| JP 58074673                                   | A2   | 19830506 | JP 1982-148452  | 19820826 |
| JP 61029950                                   | B4   | 19860710 |                 |          |
| ZA 8206252                                    | A    | 19830727 | ZA 1982-6252    | 19820826 |
| HU 30018                                      | O    | 19840228 | HU 1982-2756    | 19820826 |
| IL 66650                                      | A1   | 19850830 | IL 1982-66650   | 19820826 |
| PRIORITY APPLN. INFO.:                        |      |          | FR 1981-16347   | 19810827 |
|   |      |          | EP 1982-401567  | 19820824 |

OTHER SOURCE(S): CASREACT 99:70780

GI





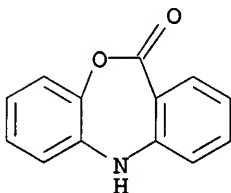
AB Psychotropic (no data) cyclic ethers I (X = bond, CH<sub>2</sub>, NR<sub>4</sub>; R, R<sub>1</sub> = H, halogen, alkyl, alkoxy, CF<sub>3</sub>; R<sub>2</sub>, R<sub>3</sub> = H, alkyl; NR<sub>2</sub>R<sub>3</sub> = heterocyclic; R<sub>4</sub> = H, alkyl, acyl) were prepd. Thus the dibenzoxazepinone II (R<sub>3</sub> = H, R<sub>5</sub>R<sub>6</sub> = O) was N-acetylated and treated with MeO<sub>2</sub>CCH:PPh<sub>3</sub> to give II (R<sub>3</sub> = Ac, R<sub>5</sub>R<sub>6</sub> = CHCO<sub>2</sub>Me) which was hydrogenated to II (R<sub>3</sub> = Ac, R<sub>5</sub> = H, R<sub>6</sub> = CH<sub>2</sub>CO<sub>2</sub>Me). LiEt<sub>3</sub>Al redn. of the ester group gave II (R<sub>3</sub> = Ac, R<sub>5</sub> = H, R<sub>6</sub> = CH<sub>2</sub>CH<sub>2</sub>OH) which was tosylated and treated with Me<sub>2</sub>NH to give II (R<sub>3</sub> = Ac, R<sub>5</sub> = H, R<sub>6</sub> = CH<sub>2</sub>CH<sub>2</sub>NMe<sub>2</sub>).

IT 15676-55-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(acetylation of)

RN 15676-55-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 35 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:414345 CAPLUS

DOCUMENT NUMBER: 99:14345

TITLE: 12-Phenyl-5,12-dihydrodibenz[b,g]azocin-5-one,  
C21H15NO

AUTHOR(S): Preut, Hans; Thimme, Michael; Eicher, Theophil;  
Krueger, Carl

CORPORATE SOURCE: Abt. Chem., Univ. Dortmund, Dortmund, D-4600, Fed.  
Rep. Ger.

SOURCE: Acta Crystallographica, Section C: Crystal Structure  
Communications (1983), C39(6), 768-70  
CODEN: ACSCEE; ISSN: 0108-2701

DOCUMENT TYPE: Journal

LANGUAGE: English

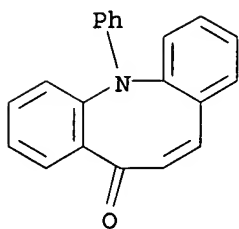
AB The title compd. is monoclinic, space group C2/c, with a 15.724(12), b 9.222(6), c 21.504(16) .ANG., and .beta. 95.91(8) .degree.; Z = 8 for d = 1.274. Final R = 0.055 for 1170 data. The mol. structure has been elucidated at. coordinates are give.

IT 86156-66-3

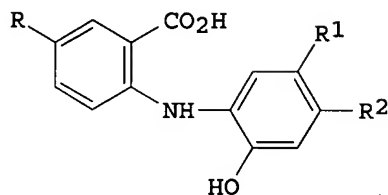
RL: PRP (Properties)  
(structure of)

RN 86156-66-3 CAPLUS

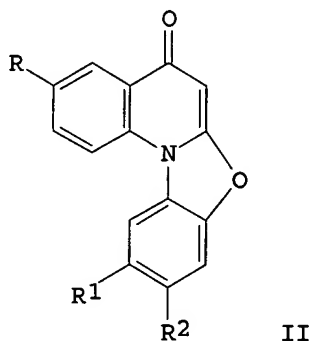
CN Dibenz[b,g]azocin-5(12H)-one, 12-phenyl- (9CI) (CA INDEX NAME)



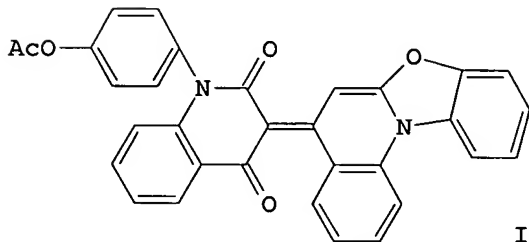
L8 ANSWER 36 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1982:217741 CAPLUS  
 DOCUMENT NUMBER: 96:217741  
 TITLE: Further studies on the reaction of  
 N-(2-hydroxyphenyl)anthranilic acids with acetic  
 anhydride  
 AUTHOR(S): Kim, Dong Han  
 CORPORATE SOURCE: Res. Div., Wyeth Lab. Inc., Philadelphia, PA, 19101,  
 USA  
 SOURCE: Journal of Heterocyclic Chemistry (1981), 18(7),  
 1389-92  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



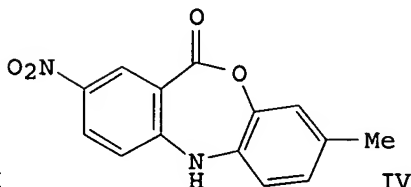
I



II



III



IV

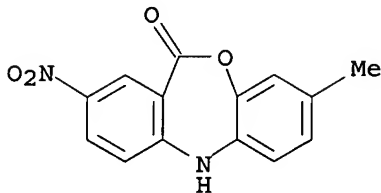
AB The anthranilic acids I (R = H, R1 = H, Cl, R2 = H; R = NO2, R1 = H, R2 =  
 Me; R = NO2, R1 = Me, R2 = H) reacted with Ac2O to give the  
 benzoxazoloquinolinones II and various minor products, e.g. the  
 benzoxazoloquinolinone III and dibenzoxazepinone IV.

IT 79091-34-2P

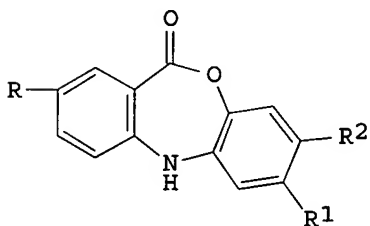
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and acetylation of)

09/ 076,575

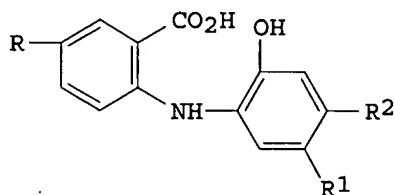
RN 79091-34-2 CAPLUS  
CN Dibenz[b,e][1,4]oxazepin-11(5H)-one, 8-methyl-2-nitro- (9CI) (CA INDEX NAME)



L8 ANSWER 37 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1981:532837 CAPLUS  
DOCUMENT NUMBER: 95:132837  
TITLE: Cyanogen bromide as a reagent for lactone formation.  
Preparation of dibenz[b,e][1,4]oxazepin-11(5H)-ones  
AUTHOR(S): Kim, Dong Han  
CORPORATE SOURCE: Res. Div., Wyeth Lab., Inc., Philadelphia, PA, 19101, USA  
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(4), 855-6  
CODEN: JHTCAD; ISSN: 0022-152X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



I



II

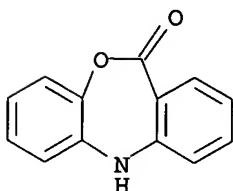
AB The title compds. I (R-R2 = H; R = R2 = H, R1 = Cl; R = NO2, R1 = Me, R2 = H, R1 = H, R2 = Me) were prepd. in 52.5-83% yields by cyclizing II with BrCN in the presence of Et3N.

IT 15676-55-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

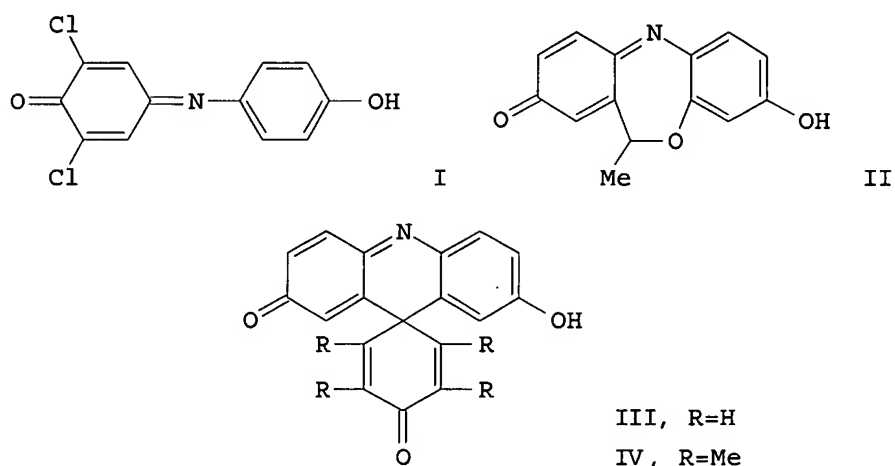
RN 15676-55-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



09/ 076,575

L8 ANSWER 38 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1976:490359 CAPLUS  
DOCUMENT NUMBER: 85:90359  
TITLE: Uncoupling of electron transport by anionic quinonoid  
redox indicator dyes  
AUTHOR(S): Hill, R.; Crofts, A. R.; Prince, R. C.; Evans, E.  
Hilary; Good, N. E.; Walker, D. A.  
CORPORATE SOURCE: Dep. Biochem., Univ. Cambridge, Cambridge, UK  
SOURCE: New Phytologist (1976), 77(1), 1-9  
CODEN: NEPHAV; ISSN: 0028-646X  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

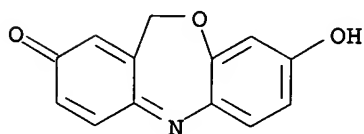


AB A considerable range of oxidn.-redn. dyes (i.e. I .fwdarw. II) was studied with ref. to reactions with illuminated chloroplast prepns. Exptl. methods included dye-mediated H<sup>+</sup>- and H-transfer across liposome membranes, comparison of increase in the uncoupling properties with increase of substituting halogen atoms and effect of halogen substitution on distribution of anion between water and octanol. In the absence of halogen substitution a relatively high concn. of a dye was needed for significant uncoupling. Introduction of the sulfonic group NaSO<sub>3</sub>- abolished the uncoupling effect even in presence of halogen substitution.

IT 50354-31-9  
RL: BIOL (Biological study)  
(in photosynthetic electron transport uncoupling)

RN 50354-31-9 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy- (9CI) (CA INDEX NAME)



L8 ANSWER 39 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1976:69236 CAPLUS  
DOCUMENT NUMBER: 84:69236

TITLE: Basic derivatives of 6,7-dihydroindolo[1,7-ab][1]benzazepine and 6H-indolo[7,1-cd][1,5]benzoxazepine as potential antidepressant agents

AUTHOR(S): Toscano, Luciano; Grisanti, Giampiero; Fioriello, Giuseppe; Seghetti, Ennio; Bianchetti, Alberto; Bossoni, Giuseppe; Riva, Mario

CORPORATE SOURCE: Res. Lab., Pierrel S.p.A., Milan, Italy

SOURCE: Journal of Medicinal Chemistry (1976), 19(2), 208-13  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

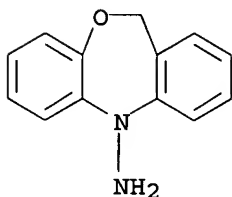
GI For diagram(s), see printed CA Issue.

AB Of 14 title compds. prepd. and screened for antidepressant activity in mice 1-[2-(benzylmethylamino)ethyl]-6,7-dihydroindolo[1,7-ab][1]benzazepine-HCl (I) [57529-83-6] and 1-[2-(methylamino)ethyl]-6,7-dihydroindolo[1,7-ab][1]benzazepine-HCl (II) [57529-85-8] had the best activity profiles. I was as active as imipramine [50-49-7] in antagonizing serotonin-induced contraction of the isolated guinea-pig ileum. With few exceptions, the compds. not substituted at position 2 antagonized reserpine-induced ptosis and hypothermia, showing negligible anticholinergic and antihistaminic properties.

IT **57529-61-0P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and Fischer cyclization reaction with keto compds.)

RN 57529-61-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-5(11H)-amine, monohydrochloride (9CI) (CA INDEX NAME)



⊙ HCl

L8 ANSWER 40 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:455770 CAPLUS

DOCUMENT NUMBER: 83:55770

TITLE: Reduction of artificial electron acceptors at subzero temperatures by chloroplasts suspended in fluid media

AUTHOR(S): Cox, Raymond P.

CORPORATE SOURCE: Inst. Biol. Phys.-Chim., Paris, Fr.

SOURCE: Biochimica et Biophysica Acta (1975), 387(3), 588-98  
CODEN: BBACAQ; ISSN: 0006-3002

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Chloroplasts can be suspended in aq./org. mixts. which are liq. at sub-zero temps. with a good retention of the ability to reduce artificial electron acceptors. The redn. of ferricyanide and 2,6-dichlorophenolindophenol at temps. >0.degree. is apprx.50% inhibited by 50% (vol./vol.) ethylene glycol. Higher concns. cause more extensive inhibition. Different solvents were compared on the basis of their ability to cause a given depression of the freezing point of an aq. soln. Ethylene glycol caused less inhibition of electron transport than glycerol, which in its turn was

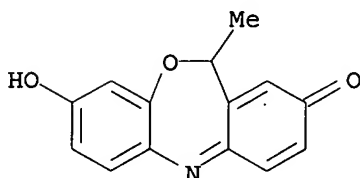
found to be superior to MeOH. The redn. of oxidized 2,3,5,6-tetramethyl-p-phenylenediamine could be measured at -25.degree. in 40% (vol./vol.) ethylene glycol. Using an acceptor with a high extinction coeff., methyl purple (a deriv. of 2,6-dichlorophenolindophenol) it was possible to obs. electron flow at temps. as low as -40.degree. in 50% (vol./vol.) ethylene glycol. From studies of the effects of the inhibitors 3(3,4-dichlorophenyl)-1,1-dimethylurea and 2,5-dibromo-3-methyl-6-isopropyl-p-benzoquinone it is suggested that electron flow from the donor side of photosystem II to the acceptor side of photosystem I can occur at temps. at least as low as -25.degree.. The ultimate electron donor is presumably water but it was not possible to demonstrate this directly.

IT 50354-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(photoredn. of, by chloroplast, org. solvent and temp. effects on)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 41 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:81187 CAPLUS

DOCUMENT NUMBER: 82:81187

TITLE: Effect of substituted dibenzoxazepines on levels of reduced glutathione and potassium ions in lenses of rabbits in vitro and of rats in vivo

AUTHOR(S): Wong, Keith K.; Wang, Geng Mei; Dreyfuss, Jacques; Schreiber, Eric C.

CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA

SOURCE: Journal of Pharmaceutical Sciences (1974), 63(6), 854-7

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB Substituted dibenzoxazepines decreased the levels of K<sup>+</sup> [7440-09-7] and reduced glutathione (GSH) [70-18-8] in isolated rabbit lenses, the effects of some of the compds. correlating with their tendency to bind to erythrocyte ghosts. The dietary administration of substituted dibenzoxazepines to rats also lowered GSH levels in lenses, the response being greatest in those animals that showed the most severe morphol. changes. Measurement of GSH and K<sup>+</sup> levels in lenses may aid in preliminary detn. of the cataractogenicity of the dibenzoxazepines. 4-[3-(7-Chloro-5,11-dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]-1-piperazineethanol-HCl (I) [41296-98-4] caused the greatest decrease in GSH and K<sup>+</sup> of isolated lenses.

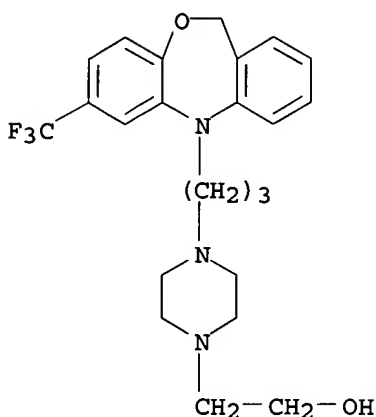
IT 27139-87-3

RL: PRP (Properties)

(potassium and reduced glutathione of eye in response to)

RN 27139-87-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 42 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1974:477985 CAPLUS  
 DOCUMENT NUMBER: 81:77985  
 TITLE: N-Oxides of 5-(aminoalkyl)-5,11-dihydrodibenzoxazepines and 5,11-dihydrodibenzthiazepines  
 INVENTOR(S): Yale, Harry L.; Bernstein, Jack  
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
 SOURCE: U.S., 6 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 3796725             | A    | 19740312 | US 1971-110327  | 19710127 |
| PRIORITY APPLN. INFO.: |      |          | US 1969-655352  | 19690724 |
|                        |      |          | US 1970-17966   | 19700309 |

AB The title compds., e.g. I (R = R1 = Me, HOCH2CH2; RR1 = (CH2)4, CH2CH2OCH2CH2, CH2CHMeCH2CH2; R2 = H, Me; n = 1,2,3; X = O, S) and II (R = H, F3C; X = O, S) were prepd. by oxidn. of the corresponding amines. Thus, 5,11-dihydrobenz[b,e] [1,4] oxazepine was treated with Br(CH2)3Cl followed by (HOCH2CH2)2NH to give 5,11-dihydro-5-[3-[bis(2-hydroxyethyl)amino]propyl]dibenz[b,e] [1,4]oxazepine which was oxidized with 30% H2O2 to give I [R = R1 = HOCH2CH2, R2 = H, X = O, n = 3]. At 5-50 mg/kg I and II were antiarrhythmic. At 0.001-0.1% I and II eliminated *S. aureus* and *T. mentagrophytes*.

IT 27488-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

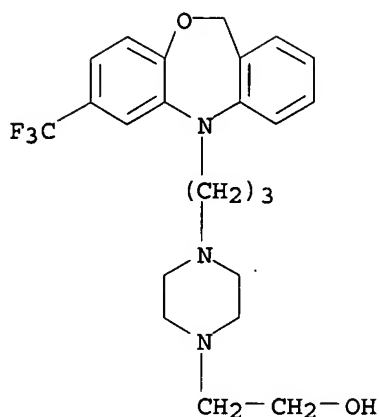
RN 27488-77-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e] [1,4]oxazepin-5(11H)-yl]propyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 27139-87-3

CMF C23 H28 F3 N3 O2

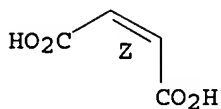


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 43 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1974:121023 CAPLUS  
 DOCUMENT NUMBER: 80:121023  
 TITLE: N-[3-(5,11-Dihydrodibenzo[b,e][1,4]thia- and  
 -oxazepin-5-yl)phthalamides  
 INVENTOR(S): Yale, Harry L.; Bernstein, Jack  
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
 SOURCE: Brit., 2 pp.  
 CODEN: BRXXAA  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| GB 1343923 | A    | 19740116 | GB 1973-33769   | 19710223 |

PRIORITY APPLN. INFO.: GB 1973-33769 19710223

GI For diagram(s), see printed CA Issue.

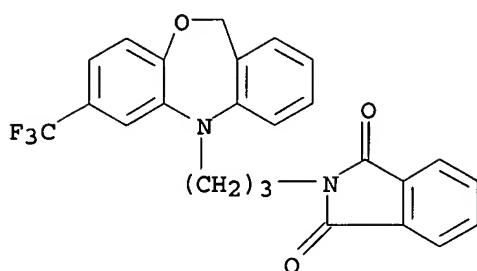
AB Title compds. (I; X = S, R = H; X = O, R = CF<sub>3</sub>) were prepd. by refluxing in DMF K phthalimide and the corresponding 3-(chloropropyl)dibenzothiazepine or -oxazepine obtained by treating DMF solns. of the appropriate dibenzothiazepine or -oxaze-pine with NaOH and Cl(CH<sub>2</sub>)<sub>3</sub>Br.

IT **28737-95-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)





L8 ANSWER 44 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1974:83090 CAPLUS  
 DOCUMENT NUMBER: 80:83090  
 TITLE: 1-[3-(5,11-Dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]phenylpiperidinols  
 INVENTOR(S): Yale, Harry L.  
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
 SOURCE: U.S., 4 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| US 3780044 | A    | 19731218 | US 1972-291422  | 19720922 |

PRIORITY APPLN. INFO.: US 1972-291422 19720922

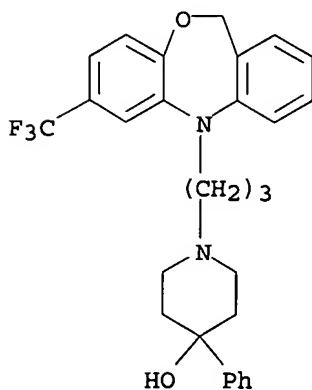
GI For diagram(s), see printed CA Issue.

AB Antibacterial tuberculostatic dibenzoxazepines I (R = CF<sub>3</sub>, R<sub>1</sub> = H; R = H, R<sub>1</sub> = Cl) were prepd. Thus, 11.2 g (5,11-dihydro-7-trifluoromethyldibenz[b,e][1,4]oxazepin-5-yl)propyl chloride was treated with 7 g 4-phenyl-4-piperidinol to give .apprx.4 g I (R = CF<sub>3</sub>, R<sub>1</sub> = H).

IT **51856-01-0P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 51856-01-0 CAPLUS

CN 4-Piperidinol, 4-phenyl-1-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



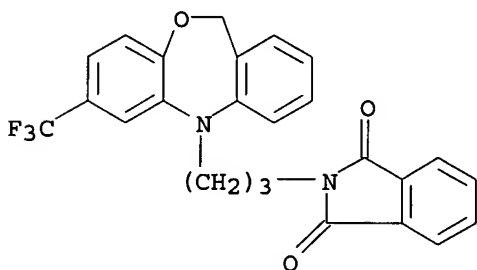
09/ 076,575

ACCESSION NUMBER: 1974:83089 CAPLUS  
DOCUMENT NUMBER: 80:83089  
TITLE: Dibenzoxazepines and dibenzothiazepines  
INVENTOR(S): Yale, Harry L.; Bernstein, Jack  
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
SOURCE: U.S., 10 pp. Continuation-in-part of U. S. 3,657,275  
(CA 77;34606g).  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| US 3780059 | A    | 19731218 | US 1971-172569  | 19710817 |
| US 3657275 | A    | 19720418 | US 1970-17972   | 19700309 |

PRIORITY APPLN. INFO.:  
US 1966-551560 19660520  
US 1970-17972 19700309

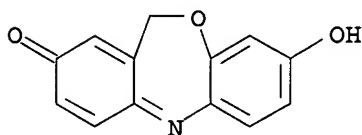
GI For diagram(s), see printed CA Issue.  
AB The title compds. and analogs I (n = 0, 1, m = 2, 3, R2 = guanidino, methylguanidino, phthalimido) and some [1,5]oxazocine and [1,5]-thiazocine analogs, useful as tranquilizers and sedatives were prepd. Thus, 5,11-dihydrodibenzo[b,e][1,4]thiazepine in DMF contg. NaH is treated with Br(CH2)3Cl to give I [n = 0, m = 3, R = R1 = H, Z = S, R2 = Cl]. Reaction of this with K phthalimide in DMF yields I (R2 = phthalimido). An addnl. 49 examples are described.  
IT 28737-95-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 28737-95-3 CAPLUS  
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 46 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1973:488982 CAPLUS  
DOCUMENT NUMBER: 79:88982  
TITLE: Old and some possible new redox indicators  
AUTHOR(S): Hill, Robert  
CORPORATE SOURCE: Dep. Biochem., Univ. Cambridge, Cambridge, UK  
SOURCE: Journal of Bioenergetics (1973), 4(1-2), 229-37  
CODEN: JBEGAA; ISSN: 0449-5705  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
AB Some properties of redox indicators as developed from a study of the Liebermann nitroso reaction for phenols are described. Consideration of the effects of completing a hetero 6-membered ring, as in the azine, thiazine, and oxazine classes, is suggested for the development of redox indicators that would perhaps be more desirable than the indophenols.

09/ 076,575

IT 50354-31-9  
RL: PRP (Properties)  
(NMR of)  
RN 50354-31-9 CAPLUS  
CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy- (9CI) (CA INDEX NAME)



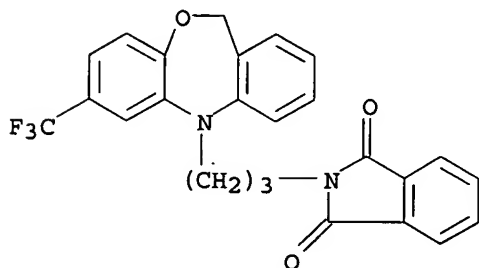
L8 ANSWER 47 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1973:442582 CAPLUS  
DOCUMENT NUMBER: 79:42582  
TITLE: Dibenzoazepines and dibenzothiazepines  
INVENTOR(S): Yale, Harry L.; Bernstein, Jack  
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
SOURCE: U.S., 12 pp. Division of U.S. 3,657,275 (CA 77;34606g).  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 3723463             | A    | 19730327 | US 1971-172570  | 19710817 |
| US 3657275             | A    | 19720418 | US 1970-17972   | 19700309 |
| PRIORITY APPLN. INFO.: |      |          | US 1966-551560  | 19660520 |
|                        |      |          | US 1970-17972   | 19700309 |

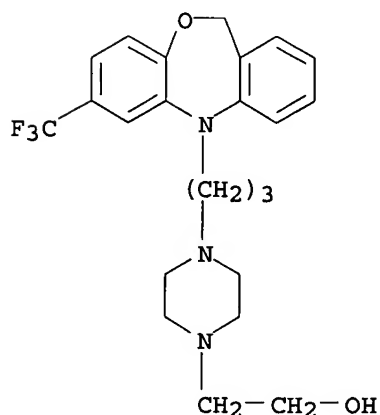
GI For diagram(s), see printed CA Issue.

AB The title compds. and higher ring analogs (I, R = H, Me, Pr; R1 = H, Me, Et; R2 = Br, Cl, CF3; Q = O, S; k = 2, 3; l, m, n = 0, 1, 2; X = HCl, 0.5H2SO4) were prep'd. Thus, 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propionitrile was hydrolyzed with H2SO4 and the resulting amide reduced with LiAlH4 to give 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propylamine, which was treated with 2-methyl-2-thiopseudourea sulfate to give I (R = R1 = R2 = H, k = 3, l = m = 0, n = 1, Q = O, X = 0.5H2SO4). At 20-200 mg/day I were sedatives and hypotensive agents.

IT 28737-95-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 28737-95-3 CAPLUS  
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 48 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1973:413382 CAPLUS  
 DOCUMENT NUMBER: 79:13382  
 TITLE: Distribution of dibenzoxazepines bearing the  
 carboxamide or other side chains in ocular and other  
 tissues of dogs  
 AUTHOR(S): Dreyfuss, Jacques; Shaw, James M.; Ross, John J., Jr.;  
 Wang, Geng Mei; Wong, Keith K.; Schreiber, Eric C.  
 CORPORATE SOURCE: Dep. Drug. Metab., Squibb Inst. Med. Res., New  
 Brunswick, NJ, USA  
 SOURCE: Journal of Pharmaceutical Sciences (1973), 62(4),  
 606-9  
 CODEN: JPMSAE; ISSN: 0022-3549  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB After oral or i.v. administration of labeled [4-[3-(7-chloro-5,11-  
 dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]-1-piperazinyl]ethanol-HCl [40671-55-4], its trifluoromethyl analog, or 5-[2-(dimethylamino)ethyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine maleate [19625-12-8] to dogs, greater concns. of radioactivity were found in the organs, esp. the brain, liver, lungs, and melanin-contg. portions of the eye, than in the blood. The same compds. were bound to various extents to melanin granules of beef eyeball in vitro. However, 7-chloro-5,11-dihydrodibenz[b,e][1,4]oxazepine-5-carboxamide (I) [16802-77-0] was neither localized in any tissues of the dog, relative to concns. in the blood, nor bound to melanin granules in vitro. Thus, the presence of the carboxamide side chain alters I affinity for tissues, esp. those contg. melanin.  
 IT 41241-23-0  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (metab. of, by eye and other tissues)  
 RN 41241-23-0 CAPLUS  
 CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



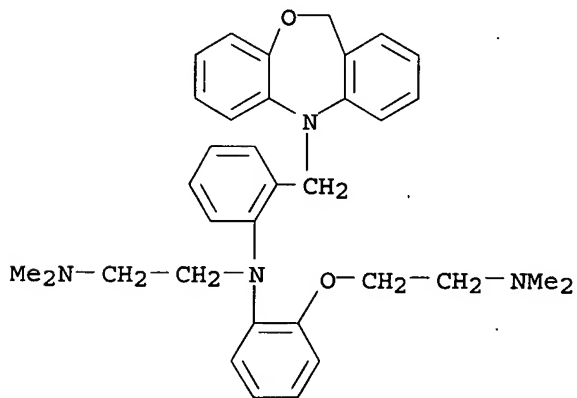
● HCl

09/ 076,575

DOCUMENT NUMBER: 78:111389  
TITLE: 5,11-Dihydrodibenzoxazepines derivatives  
INVENTOR(S): Yale, Harry L.; Sowinski, Frances A.  
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
SOURCE: U.S., 8 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 3714192             | A    | 19730130 | US 1970-76285   | 19700928 |
| PRIORITY APPLN. INFO.: |      |          | US 1965-438406  | 19650309 |
|                        |      |          | US 1967-668632  | 19670918 |

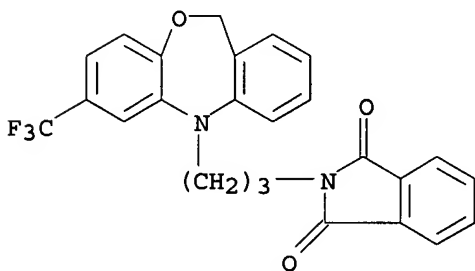
GI For diagram(s), see printed CA Issue.  
AB (Anilinobenzyl)dihydrodibenzoxazepine I (R = Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>) and its salts, which possess hypotensive, antibacterial, antifungal, and tumor inhibition activity, was prepd. by reaction of dihydrodibenzoxazepine II (R = Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>) with excess NaH and 2 equivs. Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl in refluxing THF.  
IT 16882-84-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 16882-84-1 CAPLUS  
CN 1,2-Ethanediamine, N-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)phenyl]-N-[2-(dimethylamino)ethoxy]phenyl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 50 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1973:97735 CAPLUS  
DOCUMENT NUMBER: 78:97735  
TITLE: Dibenzoxazepines and dibenzothiazepines  
INVENTOR(S): Yale, Harry L.; Bernstein, Jack  
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
SOURCE: Fr. Demande, 26 pp.  
CODEN: FRXXBL  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| FR 2128097 | A5   | 19721020 | FR 1971-7494    | 19710304 |

FR 2128097 B1 19740802  
 PRIORITY APPLN. INFO.: FR 1971-7494 19710304  
 GI For diagram(s), see printed CA Issue.  
 AB Approx. 25 guanidines [I, R = (CH<sub>2</sub>)<sub>n</sub>NR<sub>1</sub>C(:NH)NHR<sub>2</sub> n = 0-4, R<sub>1</sub> = H, Me, Et, etc.; R<sub>2</sub> = H, Me; X = O, S; x, y, z = 0-2; R<sub>3</sub> = Cl, Br, H, CF<sub>3</sub>] were prepd. from I[R = (CH<sub>2</sub>)<sub>n</sub>NHR<sub>1</sub>] and RNHC(:NH)SR<sub>5</sub>.H<sub>2</sub>SO<sub>4</sub> (R<sub>5</sub> = H, Me). Some of the guanidines prepd. were 1-[3-(2-chloro-11,12-dihydro-6H-dibenzo[b,f][1,4]thiazocin-12-yl)-propyl]-3-methylguanidine [I, R = (CH<sub>2</sub>)<sub>3</sub>NHC(:NH)NHMe, X = S; x = z = 1, y = 0, R<sub>3</sub> = Cl], 1-[3-(5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]-oxazepin-5-yl)propyl]guanidine [I, R = (CH<sub>2</sub>)<sub>3</sub>NH(:NH)NH<sub>2</sub>, X = O, x = y = 0, z = 1, R<sub>3</sub> = CF<sub>3</sub>], 1-[2-(10,12-dihydro-5H-dibenz-[c,f][1,5]oxazocin-5-yl)ethyl]-1-methylguanidine [R = CH<sub>2</sub>CH<sub>2</sub>NMeC(:NH)NH<sub>2</sub>, X = O, x = 0, y = z = 1, R<sub>3</sub> = H], 1-benzyl-3-[3-(5,10,12,13-tetrahydrodibenzo[c,f][1,5]thiazonin-5-yl)-propyl]guanidine [I, R = (CH<sub>2</sub>)<sub>3</sub>N(CH<sub>2</sub>Ph)C(:NH)NH<sub>2</sub>, X = S, x = 0, y = 1, z = 2, R = H].  
 IT **28737-95-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 28737-95-3 CAPLUS  
 CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 51 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1972:564782 CAPLUS  
 DOCUMENT NUMBER: 77:164782  
 TITLE: Guanidine derivatives of condensed heterocycles  
 INVENTOR(S): Yale, Harry Louis; Bernstein, Jack  
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
 SOURCE: Ger. Offen., 31 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

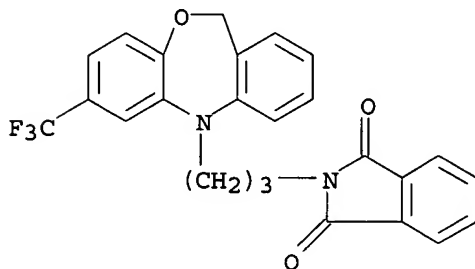
| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| DE 2107669 | A    | 19720831 | DE 1971-2107669 | 19710217 |

PRIORITY APPLN. INFO.: DE 1971-2107669 19710217  
 GI For diagram(s), see printed CA Issue.  
 AB Guanidine derivs. I (n = 2,3; x and y = 0,1; X = O, S; R = H, Me, Pr, CH<sub>2</sub>Ph; and which may be substituted in one of the benzene rings by Cl, Br, or CF<sub>3</sub>) were prepd. Thus, 5,11-dihydrodibenzo [b,e] [1,4]-oxazepin-5-propionitrile was reduced to the propylamine with LiAlH<sub>4</sub> and treated with MeSC(:NH)NH<sub>2</sub> to give I (n = 3, x = 0, y = 1, X = O, R = H).  
 IT **28737-95-3**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (guanidine from)

09/ 076,575

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 52 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:539590 CAPLUS

DOCUMENT NUMBER: 77:139590

TITLE: Formylation of amines

INVENTOR(S): Yale, Harry Louis

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| DE 2209853 | A    | 19720907 | DE 1972-2209853 | 19720301 |
| CA 948195  | A1   | 19740528 | CA 1972-135459  | 19720224 |
| GB 1388917 | A    | 19750326 | GB 1972-9109    | 19720228 |
| CH 540228  | A    | 19730928 | CH 1972-2904    | 19720229 |
| FR 2127896 | A5   | 19721013 | FR 1972-7062    | 19720301 |

PRIORITY APPLN. INFO.: US 1971-119910 19710301

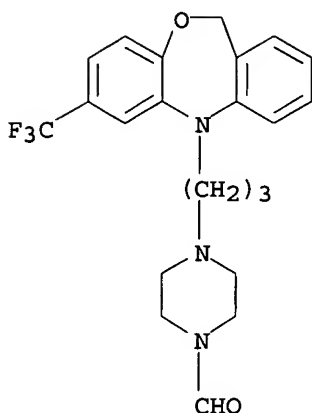
AB Primary and secondary amines, e.g. anilines, piperidines, or piperazines, were formylated in quant. yield by reaction with HCO<sub>2</sub>Ph (I) or HCO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Me-o. Thus, reaction of I with o-BrC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> in PhOH at <20-5.degree. gave quant. o-BrC<sub>6</sub>H<sub>4</sub>NHCHO.

IT 38272-89-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 38272-89-8 CAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 53 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1972:501692 CAPLUS  
 DOCUMENT NUMBER: 77:101692  
 TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenzoxazepine and  
 5,11-dihydrodibenzothiazepine N-oxides with  
 antibacterial and antiarrhythmic activity  
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
 SOURCE: Fr. Demande, 12 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| FR 2085631 | B1   | 19730608 | FR 1970-12720   | 19700408 |

PRIORITY APPLN. INFO.: FR 1970-12720 19700408

GI For diagram(s), see printed CA Issue.

AB The dibenzoxazepines (I, R = H, CF<sub>3</sub>; R<sub>1</sub> = N(O)Me<sub>2</sub>, 1-methyl-3-piperidyl, Cl, 4-(2-hydroxyethyl)-1-piperazinyl; n = 1-3) were prepd. Thus I (R = H, R<sub>1</sub> = 1-methyl-3-piperidyl, n = 1) was obtained by treating 5,11-dihydrodibenzo[b,e] [1,4]oxazepine with (1-methyl-3-piperidyl)-methyl chloride in the presence of NaH. I (R = H, R<sub>1</sub> = N(O)Me<sub>2</sub>, n = 2) was obtained by H<sub>2</sub>O<sub>2</sub> oxidn. of I (R = H, R<sub>1</sub> = NMe<sub>2</sub>, n = 2).

IT **27488-77-3P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 27488-77-3 CAPLUS

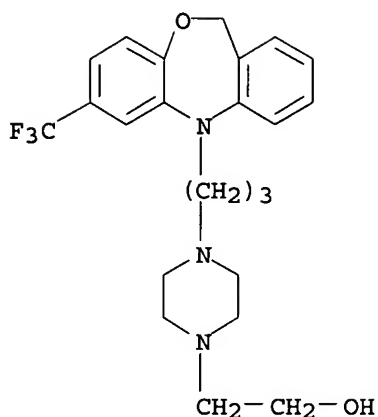
CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e] [1,4]oxazepin-5(11H)-yl]propyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 27139-87-3

CMF C23 H28 F3 N3 O2



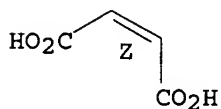


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 54 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1972:488558 CAPLUS  
 DOCUMENT NUMBER: 77:88558  
 TITLE: 5,11-Dihydrodibenz[b,e][1,4]oxazepine- and  
 -thiazepine-5-alkanoic acid derivatives  
 INVENTOR(S): Yale, Harry Louis; Petigara, Ramesh Balubhai  
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
 SOURCE: Ger. Offen., 71 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| DE 2158327             | A    | 19720531 | DE 1971-2158327 | 19711124 |
| US 3714201             | A    | 19730130 | US 1970-92498   | 19701124 |
| US 3766210             | A    | 19731016 | US 1970-92329   | 19701124 |
| CA 981666              | A1   | 19760113 | CA 1971-127969  | 19711118 |
| CH 546786              | A    | 19740315 | CH 1971-16997   | 19711123 |
| CH 551442              | A    | 19740715 | CH 1973-807     | 19711123 |
| GB 1382586             | A    | 19750205 | GB 1971-54465   | 19711123 |
| FR 2115385             | A5   | 19720707 | FR 1971-42131   | 19711124 |
| FR 2115385             | B1   | 19751010 |                 |          |
| HU 163353              | P    | 19730728 | HU 1971-SU690   | 19711124 |
| PRIORITY APPLN. INFO.: |      |          | US 1970-92329   | 19701124 |
|                        |      |          | US 1970-92498   | 19701124 |

AB Nine title compds. (I, X = O, S, SO, SO<sub>2</sub>, n = 1-3, m = 0, 1, R = R<sub>1</sub> = Et,  
 R = Et<sub>2</sub>N(CH<sub>2</sub>)<sub>2</sub>, R<sub>1</sub> = H, NRR<sub>1</sub> = 4-methyl-1-piperazinyl,

09/ 076,575

4-(2-hydroxyethyl)-1-piperazinyl, morpholino; R2 = H, CF3, R3 = F3C, Cl),  
hypotensives, were prepd. by esterification of the acid or the acid  
chloride (II) and (in the case of X = S) intermediate S-oxidn. Thus, II  
(n = 2, R2 = H, R3 = F3C) (obtained by reaction of the N-unsubstituted  
compd. with H2C:CHCN, conversion into the Me ester, and chlorination with  
PCl5) was added to Et2N(CH2)2OH in CHCl3 and refluxed 3 hr to give, after  
addn. of oxalic acid, I oxalate (n = 2, m = 1, R = R1 = Et, R2 = H, R3 =  
F3C).

IT 37945-20-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

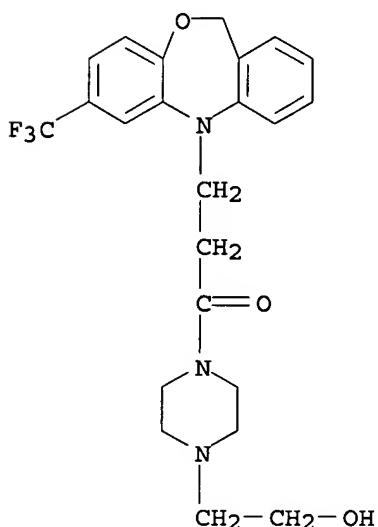
RN 37945-20-3 CAPLUS

CN 1-Piperazineethanol, 4-[1-oxo-3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazep  
in-5(11H)-yl]propyl]-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX  
NAME)

CM 1

CRN 47703-45-7

CMF C23 H26 F3 N3 O3

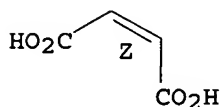


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 55 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:434606 CAPLUS

DOCUMENT NUMBER: 77:34606

TITLE: Dibenzoxazepines and dibenzothiazepines

INVENTOR(S): Yale, Harry L.; Bernstein, Jack

09/ 076,575

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
SOURCE: U.S., 10 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 3657275             | A    | 19720418 | US 1970-17972   | 19700309 |
| US 3723463             | A    | 19730327 | US 1971-172570  | 19710817 |
| US 3780059             | A    | 19731218 | US 1971-172569  | 19710817 |
| PRIORITY APPLN. INFO.: |      |          | US 1966-551560  | 19660520 |
|                        |      |          | US 1970-17972   | 19700309 |

GI For diagram(s), see printed CA Issue.

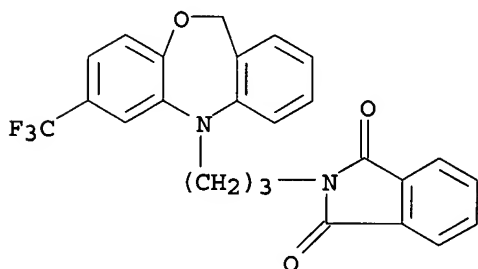
AB The title compds. and higher ring analogs (I, = H, Pr; R1 = H, Me, Et; R2 = Br, Cl, CF3; Q = O, S; X = HCl, 1/2H2SO4; k = 2,3; l, m, n = 0.1) were prepd. Thus, 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propionitrile was hydrolyzed by H2SO4 and the resulting amide was reduced by LiAlH4 to 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propylamine, which, on treatment with 2-methyl-2-thiopseudourea sulfate, gave I (R = R1 = R2 = H, k = 3, l = m = 0, n = 1, Q = O, X = 1/2H2SO4). Nine other I were prepd. by known reactions.

IT 28737-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 56 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:428740 CAPLUS

DOCUMENT NUMBER: 77:28740

TITLE: Species differences in the metabolism of a tricyclic psychotropic agent, SQ 11,290-14C

AUTHOR(S): Dreyfuss, Jacques; Shekosky, James M.; Ross, John J., Jr.; Schreiber, Eric C.

CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA

SOURCE: Toxicology and Applied Pharmacology (1972), 22(1), 105-14

CODEN: TXAPA9; ISSN: 0041-008X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Following oral administration of 14C-labeled SQ 11,290

(4-[3-(7-chloro-5,11-dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]-1-piperazineethanol dihydrochloride) (I) [28318-18-5] to mice,

rats, guinea pigs, hamsters, rabbits, monkeys, and man less than 1% of the

radioactivity excreted by any species was unchanged I. Radioactivity was excreted primarily in the feces of all species except hamsters and man in which urinary excretion was predominate.

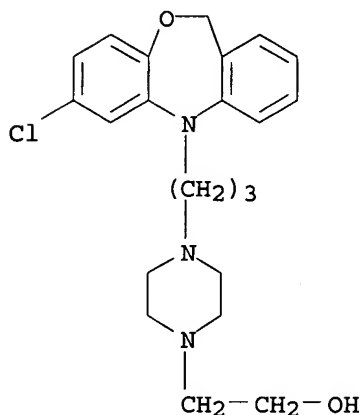
IT 28318-18-5

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(metabolism of, species in relation to)

RN 28318-18-5 CAPLUS

CN 1-Piperazineethanol, 4-[3-(7-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)propyl]- (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 57 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:127032 CAPLUS

DOCUMENT NUMBER: 76:127032

TITLE: 5,11-Dihydrodibenz[b,e][1,4]oxazepine derivatives

INVENTOR(S): Yale, Harry L.

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: U.S., 4 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

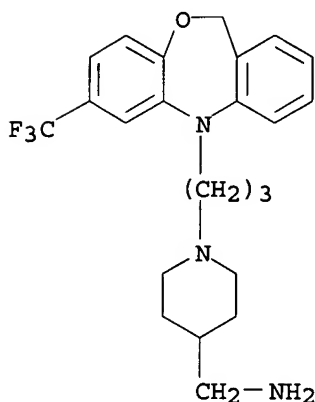
| PATENT NO.             | KIND | DATE     | APPLICATION NO. | DATE     |
|------------------------|------|----------|-----------------|----------|
| US 3631052             | A    | 19711228 | US 1970-10982   | 19700212 |
| PRIORITY APPLN. INFO.: |      |          | US 1970-10982   | 19700212 |

GI For diagram(s), see printed CA Issue.

AB Antianxiety title compds. (I) were prepd. NaOMe-EtOH was added dropwise to a mixt. of 5-trifluoromethyl-2-hydroxyformanilide and 4-chloro-2-bromobenzyl bromide in EtOH to give 2-(4-chloro-2-bromobenzoyloxy)-5-trifluoromethylformanilide (II). A mixt. of II, DMF, K<sub>2</sub>CO<sub>3</sub>, and copper bronze was heated 3.5 hr. to give 3-chloro-5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]oxazepine - 5 - carboxaldehyde, from which the formyl group was removed by reflux with 25% aq. NaOH to give 3-chloro-5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]oxazepine (III). A mixt. of III, 2-[2-(2-(dimethylamino)ethyl)piperidino]ethyl chloride-HBr, AcEt, and NaOH was refluxed 3 hr to give I (R = Cl, R<sub>1</sub> = 2-[2-(2-(dimethylamino)-ethyl)piperidino], n = 2). Similarly prepd. was I [R = H, R<sub>1</sub> = 4-(2-tetrahydropyranyloxy), n = 4] which, treated with conc. HCl gave I (R = H, R<sub>1</sub> = OH, n = 4), which, treated with SOCl<sub>2</sub> gave I (R = H, R<sub>1</sub> = Cl, n = 4), which, refluxed 18 hr with 3-(2-aminobutyl)piperidine, NaI, and AcEt gave I [R = H, R<sub>1</sub> = 3-(2-aminobutyl)piperidine, n = 4]. I

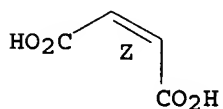
09/ 076,575

(R = H, R1 = 4-(aminomethyl)piperidino, n = 3) was similarly prepd.  
IT 28713-84-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 28713-84-0 CAPLUS  
CN 4-Piperidinemethanamine, 1-[3-[5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5-yl]propyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)  
CM 1  
CRN 28770-42-5  
CMF C23 H28 F3 N3 O



CM 2  
CRN 110-16-7  
CMF C4 H4 O4

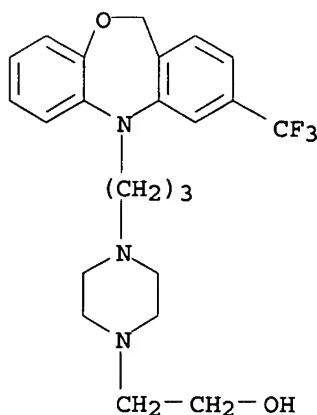
Double bond geometry as shown.



L8 ANSWER 58 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1972:14605 CAPLUS  
DOCUMENT NUMBER: 76:14605  
TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenz[b,e][1,4]oxazepine and -thiazepine N-oxides and their acid addition salts  
INVENTOR(S): Yale, Harry L.; Bernstein, Jack  
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
SOURCE: Ger. Offen., 14 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

| PATENT NO. | KIND | DATE  | APPLICATION NO. | DATE  |
|------------|------|-------|-----------------|-------|
| -----      | ---- | ----- | -----           | ----- |

DE 2016356 A 19711028 DE 1970-2016356 19700406  
 PRIORITY APPLN. INFO.: DE 1970-2016356 19700406  
 GI For diagram(s), see printed CA Issue.  
 AB I and their salts were prepd. Thus, 5-[2-dimethylamino)ethyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine was refluxed 3.5 hr with 30% H2O2 in 95% EtOH to give I [R = (CH2)2N(O)Me2, R1 = H], which was treated with maleic acid in Me2CO to give the corresponding maleate. Similarly prepd. were several other I, including I [R = 3-[4-(2-hydroxyethyl)-1-piperazinyl]propyl, R1 = CF3], its N-oxide, and N-oxide dimaleate.  
 IT 35019-32-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (oxidn. and esterification of)  
 RN 35019-32-0 CAPLUS  
 CN 1-Piperazineethanol, 4-[3-[3-(trifluoromethyl)dibenz[b,e][1,4]-oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 59 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1971:517073 CAPLUS  
 DOCUMENT NUMBER: 75:117073  
 TITLE: Metabolism in dogs of the chloro- and trifluoromethyl analogs of a piperazine-substituted dihydrobenzoxazepine  
 AUTHOR(S): Dreyfuss, J.; Ross, J. J., Jr.; Shekosky, J. M.; Schreiber, E. C.  
 CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA  
 SOURCE: Xenobiotica (1971), 1(1), 29-41  
 CODEN: XENOBH; ISSN: 0049-8254  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB After administration of [4-[3-[7-(chloro or trifluoromethyl)-5,11-dihydrobenz[b,e][1,4]oxazepin-5-yl]-1-piperazine-[14C2]-ethanol-2HCl) (SQ 11290-14C, or SQ 11005-14C, resp.) (I and II), the compds. were similarly excreted in urine and feces or bile. Highest concns. of radioactivity were found in the lungs, liver, and the ocular layers consisting of the combined retina, choroid, and sclera. Similar blood levels were found in dogs that had received equiv. doses. Unchanged SQ 11005 (5%) or SQ 11290 (8%) was present in the feces, the main excretory route. The major metabolite, a monooxygenated deriv. of the tricyclic ring system, was present in the feces and as glucuronide conjugate in the bile. The glucuronide conjugates of both parent compds. were excreted in the bile. Thus, chloro or trifluoromethyl substitution in the 7-position of the dihydrobenzoxazepine ring system did not alter the biol. disposition of

09/ 076,575

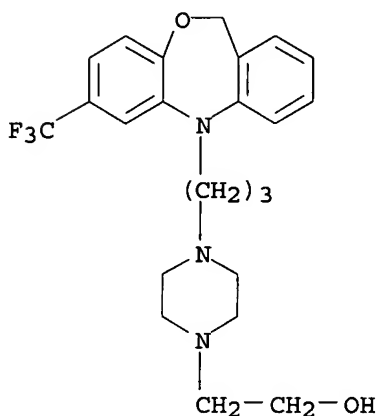
these mols. in the dog.

IT 27139-88-4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (metabolism of)

RN 27139-88-4 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

L8 ANSWER 60 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:445482 CAPLUS

DOCUMENT NUMBER: 73:45482

TITLE: Novel polycyclic heterocycles. Derivatives of 5,11-dihydrodibenz[b,e][1,4]oxazepine and 5,11-dihydrodibenzo[b,e][1,4]thiazepine

AUTHOR(S): Yale, Harry L.; Beer, Bernard; Pluscec, Jelka; Spitzmiller, Erwin R.

CORPORATE SOURCE: Squibb Inst. for Med. Res., New Brunswick, NJ, USA

SOURCE: Journal of Medicinal Chemistry (1970), 13(4), 713-22  
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

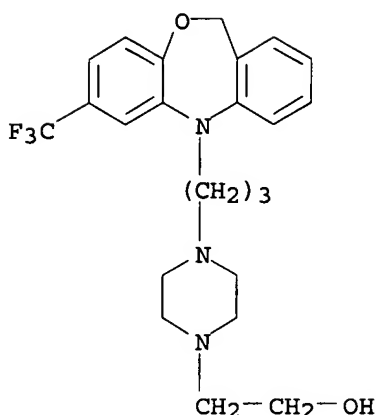
AB 5-Substituted 5,11-dihydrodibenz[b,c][1,4]oxazepines (e.g. I) and 5,11-dihydrodibenzo[b,e][1,4]thiazepines were prepd. When the 5-substituent is 3-[1-(2-hydroxyethyl)-4-piperazinyl]propyl and a substituent like Cl or CF<sub>3</sub> is in the 3 or 7 position, the compounds show antianxiety effects at lower doses and central nervous system depressant activity at higher doses. When the 5 substituent is a simple dialkylaminoalkyl group, the compounds are not depressants at either dose level, but instead are stimulants, but only at the higher dose range.

IT 27139-88-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacol. activity of)

RN 27139-88-4 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, dihydrochloride (8CI) (CA INDEX NAME)



● 2 HCl

L8 ANSWER 61 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1970:111528 CAPLUS  
 DOCUMENT NUMBER: 72:111528  
 TITLE: 5-Piperazinopropyl-5,11-dihydrodibenz[b,e][1,4]oxazepines as ataractics and tranquilizers  
 INVENTOR(S): Yale, Harry L.  
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.  
 SOURCE: Ger. Offen., 25 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE     |
|------------|------|----------|-----------------|----------|
| DE 1944335 | A    | 19700319 | DE 1970-1944335 | 19700318 |
| NL 6913679 | A    | 19700313 | NL 1969-13679   | 19690909 |
| BE 738737  | A    | 19700311 | BE 1969-738737  | 19690911 |
| FR 2017843 | A1   | 19700522 | FR 1969-30984   | 19690911 |
|            |      |          | US 1968-759244  | 19680911 |

## PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepd. via II [R2 = (CH2)3Cl] by reaction with 2-(1-piperazinyl)ethanol (III). Thus, 400 g 3,4-O2NC1C6H3CF3 was added to 300 g KOH in 2 l. Me OH and stirred 1 hr at room temp. to give 371 g 3,4-O2N(MeO)C6H3CF3, m. 46.5-48.0.degree., which (513 g) was hydrolyzed in 693 g pyridine-HCl at 155-60.degree. to give 3,4-O2N(HO)C6H3CF3 (IV), b13 96-100.degree.. IV (66 g) was hydrogenated over Pd-C and 94 ml 98-100% HCO2H added to give 55.3 g 4,3-HO(CHONH)C6H3CF3 (V), m. 172-3.degree.. NaOMe (69.8 g) in 750 ml EtOH was added to 265 g V, 324 g o-BrC6H4CH2Br, and 2600 ml EtOH to give 347 g o-BrC6H4CH2OC6H3(NhCHO)CF3-2,4 (VI), m. 152-5.degree.. Similarly prepd. was 383 g 2,4-BrClC6H3CH2OC6H3(NHCHO)CF3-2,4. VI 5.6, K2CO3 9.5 and Cu powder 0.4 g and 100 ml Dow-therm was heated at 160-5.degree. to give 3.24 g II (R = Me, R1 = H, R2 = CHO), m. 130-2.degree., which was hydrolyzed by refluxing with 1560 ml 95% EtOH and 312 ml 25% NaOH to give 2.85 g II (R = CF3, R1 = R2 = H) (IIa), m. 118-20.degree.. Similarly prepd. was II (R = CF3, R1 = Cl, R2 = H), m. 135-7.degree.. IIa 62.5, Cl(CH2)3Br 150, and NaOH 75 g with 625 ml EtOME was re-fluxed 18 hr to give II [R = CF3, R1 = H, R2 = (CH2)3Cl] (IIb), m. 73-6.degree.. Similarly prepd. were the following II



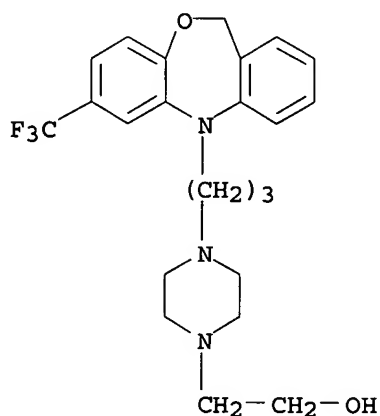
[R2 = (CH2)3-Cl] (R, R1, and m.p. given): Cl, H, -; H, Cl, 70-3.degree.; CF3, Cl, -. IIb 50, III 34, and NaI 19 g, with 300 ml EtCOME was refluxed 18 hr to give I (R = CF3, R1 = R2 = H) (Ia) b0.5 240.degree.; dihydrochloride m. 197-200.degree.; dimaleate m. 158-61.degree. (decompn.); dicitrate m. 110-14.degree. (decompn.); dipamoinate m. 162-4.degree.. Similarly prepd. were I (R2 = H) (R, R1, m.p., and m.p. salts given): Cl, H, (Ib) 91-3.degree., dihydrochloride m. 223-4.degree., dimaleate m. 171-3.degree.; H, Cl, -, dihydrochloride m. 229-32.degree., dimaleate m. 168-71.degree.; CF3, Cl, b0.cntdot.1 260.degree., -. n-C6H13COCl (4.5 g) in 50 ml C6H6 and 8.0 g Ib in 120 ml C6H6 was heated 3 hr at 75.degree. to give I (R = Cl, R1 = H, R2 = COC6H13-n); dimaleate m. 171-2. Similarly prepd. were I (R = Cl, R1 = H) (R2 and m.p. dimaleate given): COC9H19-n, 171-2.degree.; COC11H23, 170-1.degree.. I (R = CF3, R1 = H, R2 = COC9H19-n) was prepd. from Ia, SOCl2, and NaO2CC9H19-n. IIb 14.0, piperazine 7.75, and NaI 6.76 g, with 120 ml EtCOME was heated 19 hr to give II [R = CF3, R1 = H, R2 = 3-(1-piperazinyl)propyl] (IIc); dimaleate m. 152-5.degree.. IIc (3.91 g) in 20 ml C6H6, 1.71 g Ba(OH)2, 25 mg Cu powder, 50 mg KI, and 1.25 g ClCH2CH2OCH2CH2OH was refluxed 19 hr to give I (R = CF3, R1 = H, R2 = CH2CH2OH). I were used as ataractics and tranquilizers.

IT 27139-87-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 27139-87-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 62 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1969:68105 CAPLUS

DOCUMENT NUMBER: 70:68105

TITLE: 5,6,7,12-Tetrahydrodibenz[b,g]azocines and aminoalkylamine derivatives

AUTHOR(S): Fouche, Jean C. L.

CORPORATE SOURCE: Lab. Rech. Pharm., Soc. Usines Chim. RHONE-POULENC, Vitry-sur-Seine, Fr.

SOURCE: Industrie Chimique Belge (1967), 32(Spec. No.), 226-33  
CODEN: ICBEAJ; ISSN: 0019-9052

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Redn. of 2-O2-NC6H4COCl with KBH4 and LiCl in tetrahydrofuran gave 88.5-95% 2-nitrobenzyl alc., m. 70-2.degree., which was oxidized with HNO3 initially at 10.degree. with cooling to give 81-9% 2-O2NC6H4CHO (I), m. 39-42.degree.. NaOEt condensation of I with 2-nitroacetophenone yielded

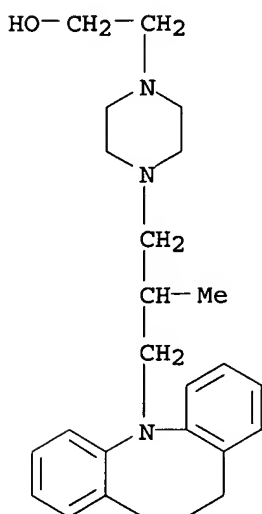
84-8% 2,2'-dinitrochalcone, m. 135-6.degree., which was reduced with KBH<sub>4</sub> to give 73-88.5% 1,3-bis(2-nitrophenyl)-3-propen-1-ol (II), m. 80-90.degree.. Hydrogenation of II over Pt gave 87-91% 1,3-bis-(2-aminophenyl)-1-propanol (III), m. 105-6.degree.; di-N-acetyl deriv. m. 228.degree.. 1,3-Bis(2-acetamidophenyl)-1-chloropropane (IV), m. 160-5.degree., was prepd. with SOCl<sub>2</sub>. Hydrogenolysis of 169 g. IV over Pd gave 116.5 g. 1,3-bis(acetamidophenyl)propane (V), m. 262.degree.. V was also prepd. in 84% yield by carefully treating III with HClO<sub>4</sub> in AcOH followed by hydrogenation and acetylation and in 82-5.5% yield from III and HBr followed by hydrogenolysis and acetylation. Hydrolysis of V with HCl in (CH<sub>2</sub>OH)<sub>2</sub> gave 100% 1,3-bis(2-aminophenyl)propane, m. 71-2.degree.; phosphate (VI) m. 226-30.degree.. Heating VI 90 min. at 290-300.degree. gave 42.5% VII m. 58-60.degree.; Ac deriv. m. 137-8.degree.. Various VIII were prepd. by treating VII with NaH and then chloroamines (method A), with phosgene and a hydroxyamine followed by pyrolysis of the product (method B), with BuLi and a chloroalkyl p-toluenesulfonate followed by treatment of the resulting chloride with an amine (method C), or with BuLi and an ethylene oxide followed by conversion of the resulting alc. through the methanesulfonate to an amine (method D). In one instance using method D, the chain was extended by conversion of the methanesulfonate to the nitrile, redn., and methylation. VIII prepd. were (X, NR'<sub>2</sub>, method of synthesis, % yield, salt isolated, and m.p. salt listed): (CH<sub>2</sub>)<sub>2</sub>, NH<sub>2</sub>, D, 54, HCl, 193-5.degree.; CH<sub>2</sub>CHMe, NH<sub>2</sub>, D, 43, HCl, 215.degree.; (CH<sub>2</sub>)<sub>3</sub>, NH<sub>2</sub>, C, 45, neutral tartrate, 179-81.degree.; CH<sub>2</sub>CHMe, NHMe, D, 75, HCl, 188-90.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, NHMe, C, 31, HCl, 201-3.degree.; (CH<sub>2</sub>)<sub>2</sub>, NMe<sub>2</sub>, A, 44 (54), HCl (fumarate), 242-4.degree. (176-8.degree.); CH<sub>2</sub>CHMe, NMe<sub>2</sub>, B (D), 25(41), fumarate, 176-8.degree.; (CH<sub>2</sub>)<sub>3</sub>, NMe<sub>2</sub>, A, 49, oxalate, 148-50.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, NMe<sub>2</sub>, A (C), 76.5 (41), HCl, 230-2.degree.; (CH<sub>2</sub>)<sub>2</sub>, NEt<sub>2</sub>, A, 12.5, HCl, 176-8.degree.; (CH<sub>2</sub>)<sub>3</sub>, NEt<sub>2</sub>, C, 66, oxalate, 130-3.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, NEt<sub>2</sub>, C, 38.5, HCl, 180-3.degree.; CH<sub>2</sub>CHMe, 1-pyrrolidinyl (Q), D, 31.5, HCl, 200.degree.; (CH<sub>2</sub>)<sub>3</sub>, Q, C, 43, neutral tartrate, 128-30.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, Q, C, 52, HCl, 140.degree. then 210.degree.; (CH<sub>2</sub>)<sub>2</sub>, piperidino (T), A, 32.5, HCl, 208-12.degree.; CH<sub>2</sub>CHMe, T, D, 36, HCl, 182-4.degree.; (CH<sub>2</sub>)<sub>3</sub>, T, C, 29, neutral tartrate, 140-2.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, T, C, 33, HCl, 196-200.degree.; (CH<sub>2</sub>)<sub>2</sub>, 4-hydroxypiperidino (U), D, 76.5, neutral tartrate, 194-6.degree.; CH<sub>2</sub>CHMe, U, D, 67, HCl, 170-5.degree.; (CH<sub>2</sub>)<sub>3</sub>, U, C, 61, oxalate, 120-30.degree.; (CH<sub>2</sub>)<sub>3</sub>, 4-methylpiperazinyl (V), A, 64, 2 HCl, 198-200.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, V, C, 46.5, 2 HCl, 198-201.degree.; CH<sub>2</sub>CHMe, 4-hydroxyethylpiperazino (W), D, 63.5, 2 HCl, 193-7.degree.; (CH<sub>2</sub>)<sub>3</sub>, W, C, 68, 2 HCl, 200-2.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, W, C, 43.5, base, 78.5-81.5.degree.; (CH<sub>2</sub>)<sub>3</sub>, 4-hydroxyethoxyethyl-piperazino (Y), C, 71, 2 HCl, 164-6.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, Y, C, 47.5, base, 78.5-80.5.degree.. Optically active starting materials gave the following VIII (XNR'<sub>2</sub> given): Me<sub>2</sub>NCH<sub>2</sub>CHMe, [.alpha.]<sub>D</sub><sup>25</sup> 44.7.degree. (EtOH); and Me<sub>2</sub>NCH<sub>2</sub>CHMeCH<sub>2</sub>, [.alpha.]<sub>D</sub><sup>20</sup> 27.2 and -26.9.degree. (CHCl<sub>3</sub>); and the following 12-substituted VII (12 substituent given): ClCO, (m. 154-6.degree.); Me<sub>2</sub>NCH<sub>2</sub>CHMeO<sub>2</sub>C (m. 122-4.degree.); MeSO<sub>3</sub>CHMeCH (b0.35 160.degree.); MeCH(CN)CH<sub>2</sub> (m. 96.degree.).

IT 1252-05-7P

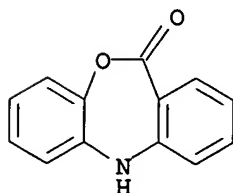
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 1252-05-7 CAPLUS

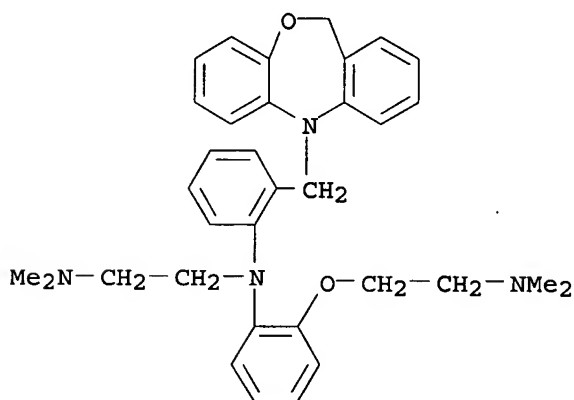
CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 63 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1968:436093 CAPLUS  
 DOCUMENT NUMBER: 69:36093  
 TITLE: The synthesis and pharmacological properties of  
 dibenz[b,e][1,4]oxazepin-11(5H)-ones  
 AUTHOR(S): Raines, Stephen; Kovacs, Csaba A.; Goldstein, Sidney;  
 Palopoli, Frank P.  
 CORPORATE SOURCE: Div. of Nat. Drug Co., Richardson-Merrell Inc.,  
 Philadelphia, PA, USA  
 SOURCE: Journal of Medicinal Chemistry (1968), 11(4), 895-6  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB N-(2-Hydroxyphenyl)anthranilic acids and dibenz[b,e][1,4]oxazepin-11(5H)-  
 ones were synthesized and screened for antiinflammatory activity against  
 carrageenin-induced abscesses in rats. When injected locally with  
 carrageenin, N-(2-hydroxyphenyl)anthranilic acid,  
 dibenz[b,e][1,4]oxazepin(5H)-one, 7-methyldibenz[b,e][1,4]oxazepin-11(5H)-  
 one, and 6,7-dimethyldibenz[b,e][1,4]oxazepin-11(5H)-one (I) showed resp.  
 minimal effective concns. (wt./vol.) in carrageenin of 2.7, 0.03, 0.1, and  
 0.01%. Thus, all 4 compds. have significant local antiinflammatory  
 activity.  
 IT 15676-55-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prep. and inflammation response to)  
 RN 15676-55-8 CAPLUS  
 CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1968:29690 CAPLUS  
 DOCUMENT NUMBER: 68:29690  
 TITLE: Novel polycyclic heterocycles. IV. Structure of the dimer of 5,11-dihydrodibenz[b,e][1,4]oxazepine. Infrared, proton magnetic resonance, and mass spectral studies  
 AUTHOR(S): Yale, Harry L.; Sowinski, Francis A.  
 CORPORATE SOURCE: Squibb Inst. for Med. Res., New Brunswick, NJ, USA  
 SOURCE: Journal of Medicinal Chemistry (1967), 10(6), 1022-5  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB In the synthesis of 5-[2-(dimethylamino)ethyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine (I), by the reaction of the anion of the heterocycle with 2-dimethylaminoethyl chloride, one of the by-products isolated from the residue from the distn. of I was identified as 5-[o-[o-[2-(dimethylamino)ethoxy] - N - [2 - (dimethylamino)ethyl]anilino]benzyl]5,11-dihydrodibenz[b,e][1,4]oxazepine (II). In the absence of 2-dimethylaminoethyl chloride, the anion of the heterocycle forms the parent dimer, 5-[o-(o-hydroxyanilino)benzyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine. The ir, P.M.R., and mass spectra of these and related compds. are discussed.  
 IT **16882-84-1P**  
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)  
 RN 16882-84-1 CAPLUS  
 CN 1,2-Ethanediamine, N-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)phenyl]-N-[2-[2-(dimethylamino)ethoxy]phenyl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 65 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1967:46414 CAPLUS  
 DOCUMENT NUMBER: 66:46414  
 TITLE: Synthesis and rearrangement of dibenz[b,e][1,4]oxazepin-6(11H)-one, depsazidone  
 AUTHOR(S): Gurien, Harvey; Malarek, David H.; Rachlin, Albert I.  
 CORPORATE SOURCE: Univ. of Pennsylvania, Philadelphia, PA, USA  
 SOURCE: Journal of Heterocyclic Chemistry (1966), 3(4), 527-8  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB A mixt. of o-BrC6H4CO2H, HCONMe9, and anhyd. K2CO3 was refluxed (while HCONMe2, was distd. through a sidearm), cooled, CuO, CuCl, HCONMe2, and

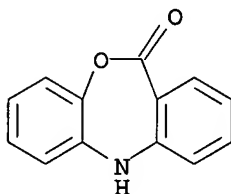
192 g. o-H<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>OH were added, the mixt. was refluxed with slow distn. of HCONMe<sub>2</sub>, and worked up with acidification to yield N-(2-hydroxyphenyl)anthranilic acid (I). SOCl<sub>2</sub> in dry Et<sub>2</sub>O was added to I and pyridine in 6.5l. dry Et<sub>2</sub>O, the mixt. stirred 3 days, and extd. with N HCl to give a solid, which, dissolved in EtOAc, passed through a silica gel column to give dibenz[b,e][1,4]oxazepin-6(11H)one (depsazidone) (II). Dry HCONMe<sub>2</sub> was added to a warmed and stirred mixt. of II and a 53.5% mineral oil suspension of NaH and 90 ml. C<sub>6</sub>H<sub>6</sub>, the mixt. was refluxed 18 hrs., cooled, and treated successively with N HCl and N NaHCO<sub>3</sub>, and filtered to yield 5,11-bis(2-hydroxyphenyl)-5,11-dihydrodibenzo[b,f][1,5]diazocine-6,12-dione (III), m. 267-70.degree. (BuOAc). The rearrangement of II into III was studied by N.M.R. Alk. sapon. of III yielded I. N-(2-methoxy)phenylanthranilic acid (IV) was obtained in a 79.1% yield from o-BrC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>H and o-H<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>OMe, similarly to I. All attempts to demethylate IV failed.

IT 15676-55-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 15676-55-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 66 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1965:410096 CAPLUS

DOCUMENT NUMBER: 63:10096

ORIGINAL REFERENCE NO.: 63:1775g-h,1776a-e

TITLE: 5-(Aminoalkyl)-5,10,11,12-tetrahydrodibenz [b,g]  
azocine derivatives

PATENT ASSIGNEE(S): Rhone-Poulenc S.A.

SOURCE: 14 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|------|
| GB 983859  |      | 19650217 | GB              |      |
| FR 1403603 |      |          | FR              |      |
| FR AD85301 |      |          | FR              |      |

PRIORITY APPLN. INFO.: FR 19600705

GI For diagram(s), see printed CA Issue.

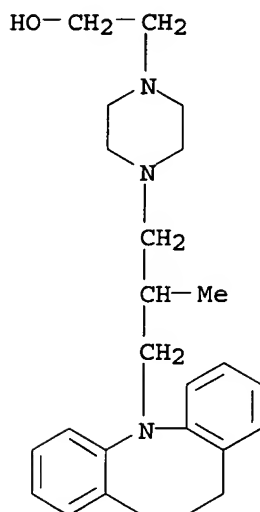
AB I were prepd. by two general methods. A mixt. of 6 g. 5,10,11,12-tetrahydrodibenz[b,g]azocine (II), prepd. by the method of Brit. 926,335 (CA 61, 1843g), and 1.03 g. sodamide in 50 cc. anhyd. xylene and 19.8 cc. of a xylene soln. of Me<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>Cl (176 g./l.) was stirred under reflux under a current of N for 7 hrs. when the evolution of NH<sub>3</sub> ceased to give 5.8 g. I [A = (CH<sub>2</sub>)<sub>3</sub>, Q = NMe<sub>2</sub>] as the acid oxalate, m. 146-7.degree.. The following I were similarly prepd. (A, Q, acid salt, and m.p. given): (CH<sub>2</sub>)<sub>3</sub>, 4-methyl-1-piperazinyl, dihydrochloride, 198-200.degree.; CH<sub>2</sub>CHMe, NMe<sub>2</sub>, fumarate, 176-8.degree.; CHMeCH<sub>2</sub>, NMe<sub>2</sub>, fumarate, 209-11.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, NMe<sub>2</sub>, hydrochloride (EtOH of crystn.); 204-7.degree.; (CH<sub>2</sub>)<sub>2</sub>, NEt<sub>2</sub>, hydrochloride, 176-8.degree.;

(CH<sub>2</sub>)<sub>2</sub>, NMe<sub>2</sub>, hydrochloride, 242-4.degree.; (CH<sub>2</sub>)<sub>2</sub>, 1-piperidinyl, hydrochloride, 208-12.degree.; CH<sub>2</sub>CH(NMe<sub>2</sub>)CH<sub>2</sub>, NMe<sub>2</sub>, dihydrochloride, 195-8.degree.; (CH<sub>2</sub>)<sub>2</sub>, 1-methyl-2-piperidinyl, dihydrochloride, 140-5.degree.. A soln. of 20.9 g. II in 60 cc. Et<sub>2</sub>O was added during 15 min. below 10.degree. to an ethereal soln. of BuLi, prepd. from 2.2 g. Li, 17.2 g. BuBr, and 100 cc. Et<sub>2</sub>O. The temp. was allowed to rise to 17.degree., a soln. of 26.3 g. p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>CH<sub>2</sub>CHMeCH<sub>2</sub>Cl in 55 cc. Et<sub>2</sub>O added during 15 min. <25.degree., and the mixt. stirred 3 hrs. at 25.degree. and kept 15 hrs. to give 30 g. 5-(3-chloro-2-methylpropyl)-5, 10, 11, 12-tetrahydrobenz[b,g]azocine (III) as an oily residue. Et<sub>2</sub>NH (73 g.) was added to 30 g. crude III in 100 cc. anhyd. EtOH and heated at 100.degree. for 21 hrs. in a pressure vessel to give I [A = CH<sub>2</sub>CHMeCH<sub>2</sub>, Q = NEt<sub>2</sub>] as the hydrochloride, m. 180-3.degree.. The following I were similarly prepd. (A, Q, acid salt, and m.p. given): CH<sub>2</sub>CHMeCH<sub>2</sub>, 4-hydroxy-1-piperidinyl, -, - (base m. 78-80.5.degree.); CH<sub>2</sub>CHMeCH<sub>2</sub>, 4-(2-hydroxyethyl)-1-piperazinyl, -, - (base m. 78.5-81.5.degree.); CH<sub>2</sub>CHMeCH<sub>2</sub>, 4-methyl-1-piperazinyl, dihydrochloride (2H<sub>2</sub>O of crystn.), 198-201.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, NHMe, hydrochloride, 210-13.degree.; (CH<sub>2</sub>)<sub>3</sub>, 4-(2-hydroxyethyl)-1-piperazinyl, dihydrochloride, 200-2.degree.; (CH<sub>2</sub>)<sub>3</sub>, 4-[2-(2-hydroxyethoxy)ethyl]-1-piperazinyl, dihydrochloride, 164-6.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, 4-[2-(2-hydroxyethoxy)ethyl]-1-piperazinyl, -, - (base m. 78.5-80.5.degree.); CH<sub>2</sub>CHMeCH<sub>2</sub>, 1-morpholinyl, hydrochloride, 200-5.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, 1-piperidinyl, fumarate, 147-51.degree.; CH<sub>2</sub>CHMeCH<sub>2</sub>, 1-pyrrolidinyl, hydrochloride (EtOH of crystn.), 140.degree. and 210.degree.; (CH<sub>2</sub>)<sub>3</sub>, 4-hydroxy-1-piperidinyl, oxalate, 115.degree.; (CH<sub>2</sub>)<sub>3</sub>, 1-morpholinyl, oxalate, 173-5.degree.; (CH<sub>2</sub>)<sub>3</sub>, 1-piperidinyl, dihydrochloride, 106-10.degree.; (CH<sub>2</sub>)<sub>3</sub>, 1-piperidinyl, tartrate, 140-2.degree.; (CH<sub>2</sub>)<sub>3</sub>, 1-pyrrolidinyl, neutral tartrate, 128-30.degree.; (CH<sub>2</sub>)<sub>3</sub>, 1-pyrrolidinyl, oxalate, 130-3.degree.. 5-(2-Dimethylaminoethoxycarbonyl) deriv. of II (3.6 g.) was decarboxylated by heating at 230-50.degree. for 45 min. under a current of N. The residue was distd. in vacuo to give 2.2 g. product, b<sub>0.4</sub> 135-45.degree., which gave I [A = (CH<sub>2</sub>)<sub>2</sub>, Q = NMe<sub>2</sub>] as the hydrochloride, m. 236-9.degree.. II (4.18 g.) in 15 cc. anhyd. Et<sub>2</sub>O was added to 1.92 g. BuLi in 25 cc. anhyd. Et<sub>2</sub>O at 8-10.degree.. After stirring for 30 min., the soln. was cooled to 0.degree. 7.5 cc. 4.1M anhyd. ethereal ethylene oxide added at below 10.degree., and the mixt. stirred at room temp. for 15 hrs. to give 5 g. 5-(2-hydroxyethyl) deriv. of II, which was treated in 40 cc. anhyd. pyridine at - 10.degree. with 4.53 g. MeSO<sub>2</sub>Cl. The oil which sepd. on pouring into 250 cc. H<sub>2</sub>O was extd. with C<sub>6</sub>H<sub>6</sub>. The C<sub>6</sub>H<sub>6</sub> soln. was washed with cold N HCl soln. and H<sub>2</sub>O, dried over Na<sub>2</sub>SO<sub>4</sub>, and concd. to 80 cc. before treating with 40 cc. 5.7M Me<sub>2</sub>NH in C<sub>6</sub>H<sub>6</sub> at 100.degree. for 17 hrs. to give 3.25 g. I [A = (CH<sub>2</sub>)<sub>2</sub>, Q = NMe<sub>2</sub>] as the hydrochloride. I possess a very high antiemetic and intense antidepressant activity, making them useful for treating melancholia.

IT 1252-05-7, 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]-  
(prepn. of)

RN 1252-05-7 CAPLUS

CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 67 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1965:74163 CAPLUS  
 DOCUMENT NUMBER: 62:74163  
 ORIGINAL REFERENCE NO.: 62:13131g-h,13132a-d  
 TITLE: 5,10,11,12-Tetrahydrodibenz[b,g]azocine derivatives  
 INVENTOR(S): Jacob, Robert M.; Fouche, Jean C. L.  
 PATENT ASSIGNEE(S): Rhone-Poulenc S.A.  
 SOURCE: 9 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE     | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|------|
| DE 1180751 |      | 19641105 | DE              |      |

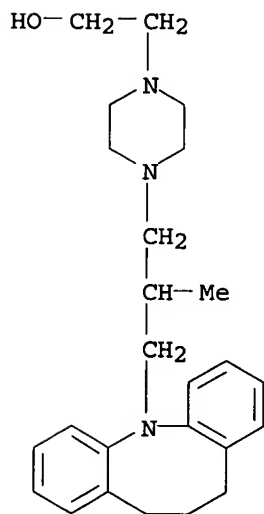
PRIORITY APPLN. INFO.: FR 19600705

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepd. 5,10,11,12-Tetrahydrodibenz[b,g]azocine (II) (6.0 g.), 50 ml. dry xylene, 1.03 g. NaNH<sub>2</sub>, and 19.8 ml. xylene soln. contg. 176 g. 1-dimethylamino-3-chloropropane per 1. soln. was stirred and heated under N at reflux until NH<sub>3</sub> evolution had ceased (7 hrs.), cooled, 100 ml. distd. H<sub>2</sub>O added, the xylene layer decanted, washed twice with 50 ml. distd. H<sub>2</sub>O, and extd. 3 times with a total of 200 ml. 2N HCl, the acidic soln. made alk. with 100 ml. 10N NaOH, the oil formed extd. with 50 ml. then with 30 ml. Et<sub>2</sub>O, the ext. dried (K<sub>2</sub>CO<sub>3</sub>) and evapd., and the residue in 35 ml. Me<sub>2</sub>CO treated with a soln. of 1.75 g. dry oxalic acid in 35 ml. Me<sub>2</sub>CO to ppt. 5.8 g. of acid oxalate of 5-(3-dimethylaminopropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine, m. 146-7.degree.. II, m. 55-7.degree., was prepd. by heating a salt of 1,3-bis(o-aminophenyl)propane at 220-300.degree.. The following I were similarly prepd. (R, salt, and m.p. salt given): 3-(4-methyl-piperazino)propyl, di-HCl, 198-200.degree.; 2-dimethylaminopropyl, fumarate, 176-8.degree.; 3-dimethylamino-2-methylpropyl, HCl (solvate with EtOH), 204-7.degree.; 2-diethylaminoethyl, HCl, 176-8.degree.; 2-piperidinoethyl, HCl, 208-12.degree.; 2',3'-bis(dimethylamino)-propyl, di-HCl, 195-8.degree.; 2-(1-methyl-2-piperidyl)ethyl, di-HCl, 140-5.degree.. Crude 5-(3-chloro-2-methylpropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine (V) (prepd. by reaction of 3-p-tolyl-sulfonyloxy-2-methyl-1-chloropropane with the Li deriv. of II) (30 g.) was dissolved in 100 ml. dry EtOH, 73 g. Et<sub>2</sub>NH added, the mixt. heated 21 hrs. at 100.degree. in a high pressure

flask, and the solvent removed under a slight vacuum to yield an oily residue, which was worked up to give 10.5 g. 5-(3-diethylamino-2-methylpropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine-HCl, m. 180-3.degree.. The following I were similarly prepd. (R, salt, and m.p. salt given): 3-(4-hydroxypiperidino)-2-methylpropyl, --, 78-80.5.degree. (free base); 3-(4-hydroxyethylpiperazino)-2-methylpropyl, --, 78.5-81.5.degree. (free base); 3-(4-methylpiperazino)-2-methylpropyl, di-HCl dihydrate, 198-201.degree.; 3-methylamino-2-methylpropyl, HCl, 201-3.degree.; 3-(4-hydroxyethoxyethylpiperazino)-2-methylpropyl, --, 78.5-80.5.degree. (free base); 3-morpholino-2-methylpropyl, HCl, 200-5.degree.; 3-piperidino-2-methylpropyl, fumarate, 147-51.degree.; and 3-pyrrolidino-2'-methylpropyl, HCl (solvate with EtOH), 140.degree. and 210.degree.. The following I were prepd. by reaction of 5-(3-chloropropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine with various amines (R, salt, and m.p. salt given): 3-(4-hydroxyethylpiperazino)propyl, di-HCl, 200-2.degree.; 3-(4-hydroxyethoxyethylpiperazino)propyl, di-HCl, 164-6.degree.; 3-(4-hydroxypiperidino)propyl, oxalate, 115.degree.; 3-morpholinopropyl, oxalate, 173-5.degree.; 3-piperidinopropyl, di-HCl, 106-10.degree.; 3-pyrrolidinopropyl, --, 128-30.degree. (free base); and 3-diethylaminopropyl, oxalate, 130-3.degree.. Similarly prepd. from 5-methyl-sulfonyl-5,10,11,12-tetrahydrodibenz[b,g]azocine was I (R = Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>) (III) HCl salt, m. 242-4.degree.. 5-(2-Dimethyl-aminoethoxycarbonyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine was decarboxylated at 230-50.degree. and the product treated with HCl to yield III. I were antidepressives.

IT 1252-05-7, 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]-  
(prepn. of)  
RN 1252-05-7 CAPLUS  
CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)



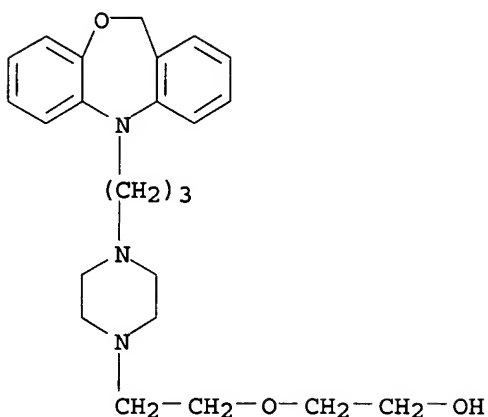
L8 ANSWER 68 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 1963:66545 CAPLUS  
DOCUMENT NUMBER: 58:66545  
ORIGINAL REFERENCE NO.: 58:11386b-g  
TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenzoxazepines  
INVENTOR(S): Yale, Harry L.; Sowinski, Francis A.; Bernstein, Jack  
PATENT ASSIGNEE(S): Olin Mathieson Chemical Corp.  
SOURCE: 4 pp.  
DOCUMENT TYPE: Patent



LANGUAGE: Unavailable  
 PATENT INFORMATION:

|    | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|----|---|------|----------|-----------------|----------|
|    | -----   | ---- | -----    | -----           | -----    |
|    | US 3069432  |      | 19621218 | US              | 19610220 |
|    | FR 1317469  |      |          | FR              |          |
|    | FR M1845  |      |          | FR              |          |
|    | GB 951840   |      |          | GB              |          |
| GI | For diagram(s), see printed CA Issue.   |      |          |                 |          |
| AB | <p>I, where A is a lower alkylene radical of at least 2 C atoms, B is a satd. N-contg. radical of less than 12 C atoms and R and R' are the same or different and are H, halogen, lower alkyl, lower alkoxy, trifluoromethyl, trifluoromethylthio, trifluoromethoxy, or N,N-dimethylsulfonamido, and their salts are useful as ataractic agents and as antihistamines. I are prepd. by a series of 6 reactions. Thus, a mixt. of 188 g. .omicron.-bromotoluene, 178 g. N-bromosuccinimide, 1.5 g. Bz2O2, and 350 ml. CCl4 is stirred and refluxed for 34 hrs. The mixt. is cooled, filtered, concd., and cooled again, and the residue washed with 15% aq. NaHSO3, H2O, 15% aq. FeSO4, and H2O, and dried (anhyd. MgSO4) to yield 161.3 g. .omicron.-bromobenzyl bromide (II), b10 122-6.degree.. To a stirred soln. of 119.5 g. II, and 83.6 g. .omicron.-nitrophenol in 400 ml. 95% EtOH, a soln. of 39.6 g. 85% KOH in 200 ml. H2O is added dropwise and the mixt. refluxed for 2 hrs. Cooling, filtering, washing (H2O), and drying yields 149.6 g. .omicron.-bromobenzyl .omicron.-nitrophenyl ether (III), m. 82.5-3.0.degree. (95% EtOH). To a stirred mixt. of 149.0 g. III, 270 g. Fe powder, and 3.5 l. 95% EtOH is added 25 ml. concd. HCl. After refluxing 1 hr., the mixt. is filtered hot, concd. until 2 phases appear, cooled, and extd. with Et2O. Conc. of the dried Et2O ext. yields 101.1 g. 2-(.omicron.-bromobenzoyloxy)aniline (IV), m. 48-9.degree.. To a mixt. of 169.0 g. 98-100% HCO2H and 73.5 g. HOAc is added in small portions with cooling and stirring 101.1 g. IV. The mixt. is refluxed for 1/2 hr. and concd. in vacuo to yield about 104 g. 2-(.omicron.-bromobenzoyloxy)formanilide (V), m. 113.5-14.degree. [Skellysolve V (VI.)]. A stirred mixt. of 5.0 g. V, 2.8 g. anhyd. K2CO3, 0.5 g. Cu powder, and 50 ml. HCONMe2 is heated under N at 155-60.degree. for 2 hrs. The mixt. is filtered hot, concd. to dryness, washed (H2O), and extd. with VI to yield, on cooling, 2.6 g. I (R = R' = H, AB = CHO) (VII). Addnl. recrystn. (hexane and VI resp.) yields 0.9 g. pure VII, m. 111.5-12.5. VII (100 mg.) is dissolved in a mixt. of 10 ml. EtOH and 2 ml. 10% aq. NaOH. The soln. is refluxed for 1 hr., cooled, neutralized, and concd. to dryness to yield I (R = R' = AB = H), m. 118-18.5 (hexane). Similarly, using 2-bromo-4-chlorobenzyl bromide instead of II gave I (R = AB = H, R'=3-Cl). Also prepd. were I (R,R', and AB given): H, 3-F3C, H; 7-Me, H, H; 7-Cl, 3-Cl, H; H, 3-SO2NH2, H; H, 3-CF3, H; H, 3-F3CS, H; H, H, (CH2)3NMe2 (VIII) (b0.15 138-43.degree.); H, 3-Cl, (CH2)3NMe2; H, 3-CF3, (CH2)3NMe2; 7-Me, H, (CH2)3NMe2; 7-Cl, 3-Cl, (CH2)3NMe2; H, H; CH2-CH2NMe2; H, H, 3-(N4-methylpiperazino)propyl; H, H, 3-[N4-(2-hydroxyethyl)piperazino]propyl; H, H, 3-[N4-(2-hydroxyethoxyethyl)piperazino]propyl; H, H, 3-[N4-(2-acetoxyethyl)piperazino] propyl.</p> |      |          |                 |          |
| IT | 105476-69-5, Ethanol, 2-[2-[4-(3-dibenz[b,e][1,4]oxazepin-5-(11H)-ylpropyl)-1-piperazinyl]ethoxy]-(prepn. of)   |      |          |                 |          |
| RN | 105476-69-5 CAPLUS  |      |          |                 |          |
| CN | Ethanol, 2-[2-[4-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-1-piperazinyl]ethoxy]-(7CI) (CA INDEX NAME)   |      |          |                 |          |

09/ 076,575



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(FILE 'HOME' ENTERED AT 14:34:21 ON 03 SEP 2003)

FILE 'REGISTRY' ENTERED AT 14:34:52 ON 03 SEP 2003

|    |                                     |
|----|-------------------------------------|
| L1 | STRUCTURE UPLOADED                  |
| L2 | STRUCTURE UPLOADED                  |
| L3 | 0 S L1 FUL                          |
| L4 | 0 S L2 FUL                          |
| L5 | 45 S 'DIBENZ [B,G] AZOCIN'          |
| L6 | 203 S 'DIBENZ [B,E] [1,4] OXAZEPIN' |
| L7 | 0 S 'DIBENZ [D,G] DIOXAZOCIN'       |

FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003

L8 68 S L5 OR L6

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

311.37

653.28

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-44.27

-44.27

STN INTERNATIONAL LOGOFF AT 14:44:59 ON 03 SEP 2003